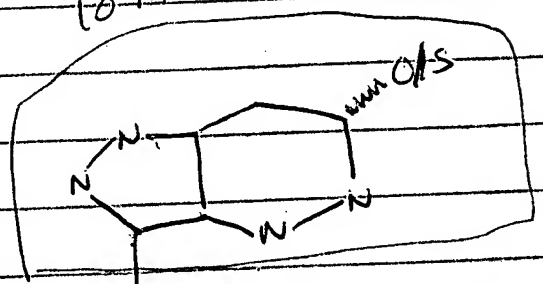
Dec 2, 2005 PAUL WARD NOTES

10/772,219



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RU$_5$Cy^3

1-7 + (0-1) = 1-8

gl — A — cy
1-8

SQ1 or SQ2 = SuB = BS

SQ1
SQ2

A_{1-7} — cy

N — A_{1-8} — cy

O — A_{1-8} — cy

S — A_{1-7} — cy

reg 2 13

Paul Ward
(see notes)
handwritten

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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:     3 DEC 2008  HIGHEST RN 1079441-15-8
DICTIONARY FILE UPDATES:    3 DEC 2008  HIGHEST RN 1079441-15-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
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http://www.cas.org/support/stngen/stndoc/properties.html

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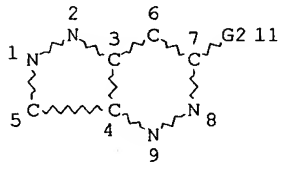


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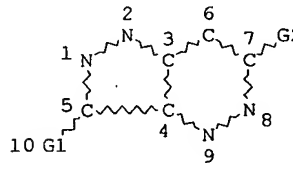

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NSPEC   IS R      AT  17
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
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Dec 2, 2008

See handwritten notes

STEREO ATTRIBUTES: NONE
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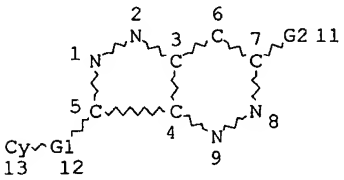

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NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
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100.0% PROCESSED 82 ITERATIONS 41 ANSWERS
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FILE COVERS 1907 - 5 Dec 2008 VOL 149 ISS 24
FILE LAST UPDATED: 4 Dec 2008 (20081204/ED)

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d bib abs hitrn fhitstr l16 tot

L16 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:696343 HCAPLUS
DN 141:225525
TI Preparation of pyrazolopyridazines as inhibitors of protein kinases
IN Green, Jeremy; Grey, Ronald; Pierce, Albert C.
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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2004WO-US0003061 A 20040204
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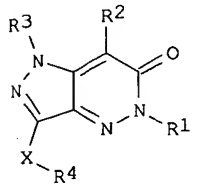

I

AB The title compds. [I; R1 = substituted Ph, alkylphenyl, CH2Ph, etc.; R2 = halo, NO2, CN, etc.; R3 = H, alkyl; X = a bond, O, S, (un)unsubstituted NH; R4 = H, quinazolinyl, pyrimidinyl, etc.] which are inhibitors of protein kinases, particularly inhibitors of GSK mammalian protein kinase, and more particularly inhibitors of GSK-3 mammalian protein kinase, were prepared E.g., a multi-step synthesis of 3-amino-5-(3,4-dimethoxyphenyl)-1,5-dihydropyrazolo[4,3-c]pyridazine-6-one, starting from 3,4-dimethoxyaniline and di-Me acetonediacarboxylate, was given. The representative compds. I were shown to have Ki of < 4.0 μM for GSK-3β. The invention also provides pharmaceutically acceptable compns. comprising the compds. I and methods of utilizing those compds. and compns. in the treatment of various protein kinase mediated disorders.

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746647-44-9P 746647-45-0P 746647-46-1P
746647-47-2P 746647-48-3P 746647-49-4P
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746647-57-4P 746647-60-9P 746647-61-0P
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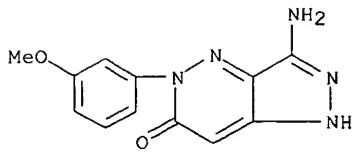
746647-70-1P 746647-71-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyridazines as inhibitors of protein kinases)

IT **338395-98-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazolopyridazines as inhibitors of protein kinases)

IT **746647-38-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyridazines as inhibitors of protein kinases)

RN 746647-38-1 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 3-amino-1,5-dihydro-5-(3-methoxyphenyl)- (CA INDEX NAME)

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CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 10:56:19 ON 05 DEC 2008
CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs hitrn fhitstr l18 tot

L18  ANSWER 1 OF 1  USPATFULL on STN
AN     2004:248082  USPATFULL
TI     Compositions useful as inhibitors of protein kinases
IN     Green, Jeremy, Burlington, MA, UNITED STATES
       Grey, Ronald, Cambridge, MA, UNITED STATES
       Pierce, Albert C., Cambridge, MA, UNITED STATES
PI     US-20040192682       A1  20040930
AI     2004US-000772219     A1  20040204 (10)
PRAI   2004WO-US0003061     20040204
       2003US-000445529P    20030206 (60)
DT     Utility
FS     APPLICATION
LREP   VERTEX PHARMACEUTICALS INC., 130 WAVERLY STREET, CAMBRIDGE, MA,
       02139-4242
CLMN   Number of Claims: 63
ECL    Exemplary Claim: 1
DRWN   No Drawings
LN.CNT 1928
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB     The present invention provides a compound of formula I:   ##STR1##

       or a pharmaceutically acceptable salt or mixtures thereof. These
       compounds are inhibitors of protein kinases, particularly inhibitors of
       GSK mammalian protein kinase, and more particularly inhibitors of GSK-3
       mammalian protein kinase. The invention also provides pharmaceutically
       acceptable compositions comprising the compounds of the invention and
       methods of utilizing those compounds and compositions in the treatment
       of various protein kinase mediated disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT   746647-38-1P 746647-39-2P 746647-40-5P
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       (preparation of pyrazolopyridazines as inhibitors of protein kinases)
IT   338395-98-5
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IT   746647-38-1P
       (preparation of pyrazolopyridazines as inhibitors of protein kinases)
RN   746647-38-1  USPATFULL
CN   6H-Pyrazolo[4,3-c]pyridazin-6-one,
       3-amino-1,5-dihydro-5-(3-methoxyphenyl)-  (CA INDEX NAME)
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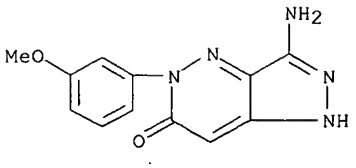

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FILE COVERS 1907 - 5 Dec 2008 VOL 149 ISS 24
FILE LAST UPDATED: 4 Dec 2008 (20081204/ED)

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d bib abs hitstr 120 tot

L20 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:220584 HCAPLUS
DN 136:247584
TI Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
IN Bebbington, David; Knegtel, Ronald; Golec, Julian M. C.; Li, Pan; Davies, Robert; Charrier, Jean-Damien
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 356 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 14

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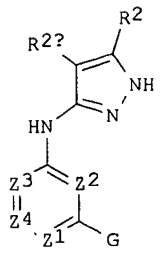

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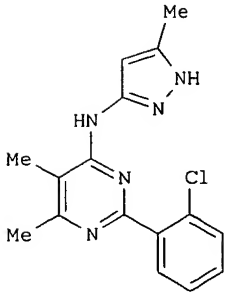

II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 = CR9; Z2 and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 HCAPLUS

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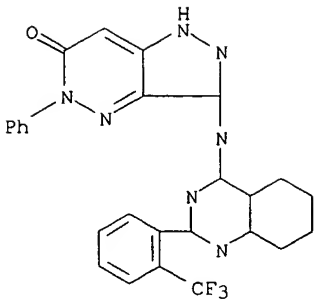

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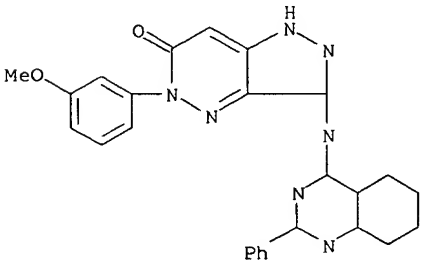

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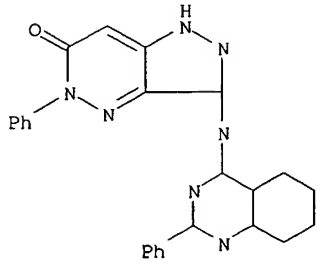

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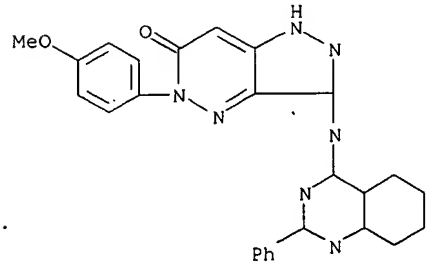

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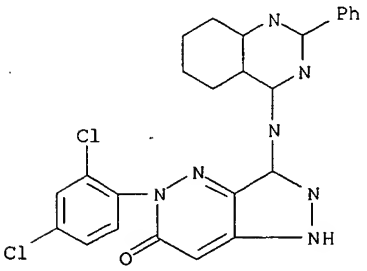

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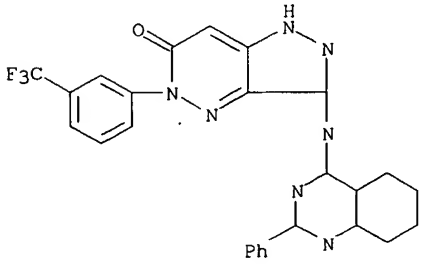

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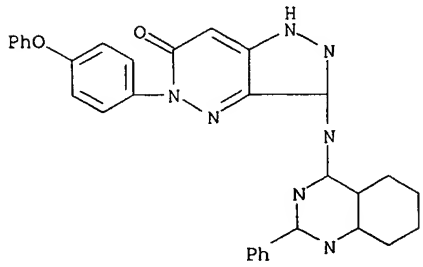

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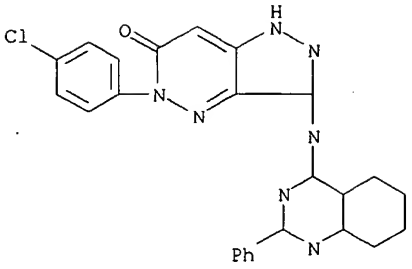

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AN 2002:220583 HCAPLUS
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TI Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
IN Davies, Robert; Bebbington, David; Knegtel, Ronald; Wannamaker, Marion; Li, Pan; Forester, Cornelia; Pierce, Albert; Kay, David
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 373 pp.
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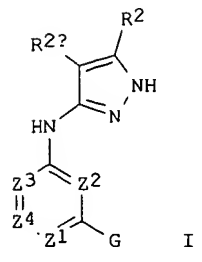

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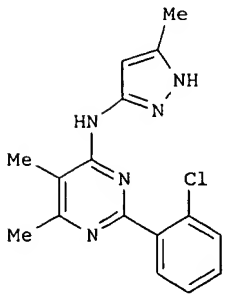

II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring C]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

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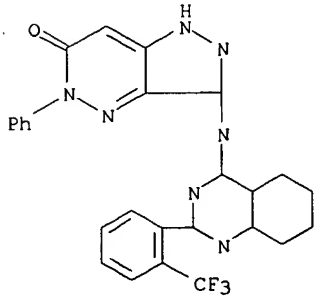

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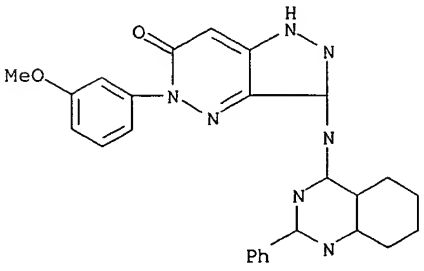

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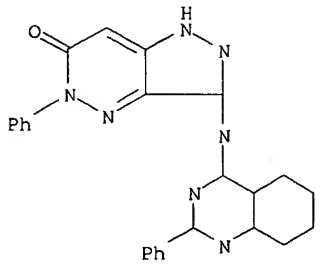

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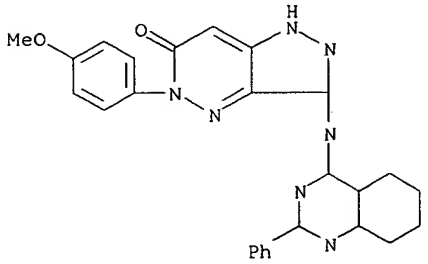

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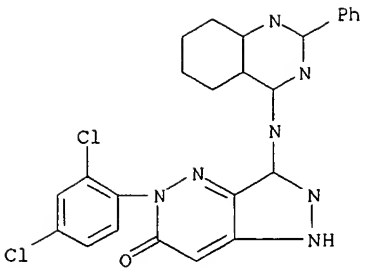

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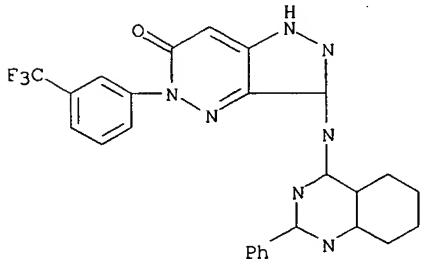

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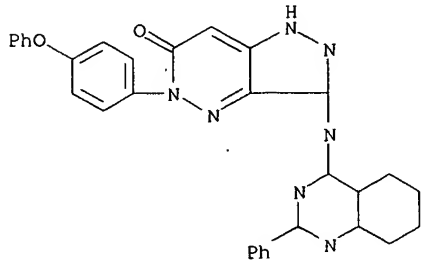

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RN 404829-23-8 HCAPLUS
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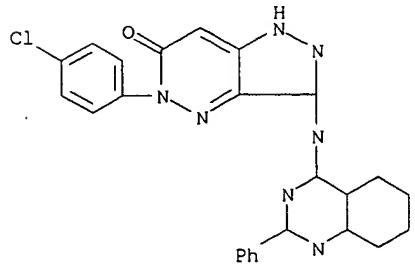

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RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:220582 HCAPLUS
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TI Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
IN Bebbington, David; Binch, Hayley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 355 pp.
CODEN: PIXXD2
DT Patent
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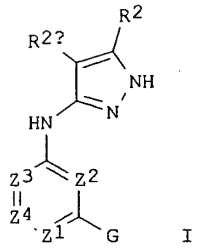
OS MARPAT 136:247582

GI



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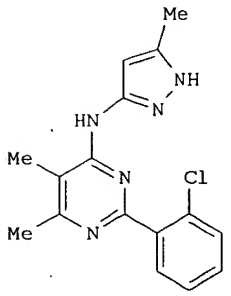

II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 =

independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring D]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

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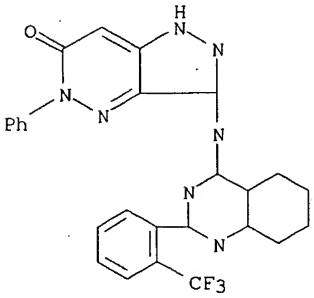

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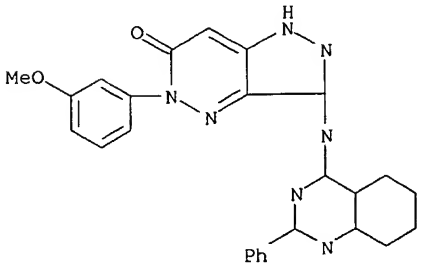

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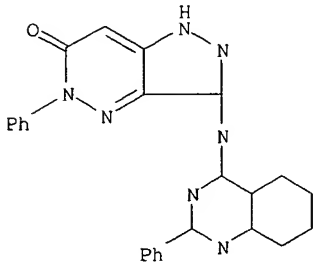

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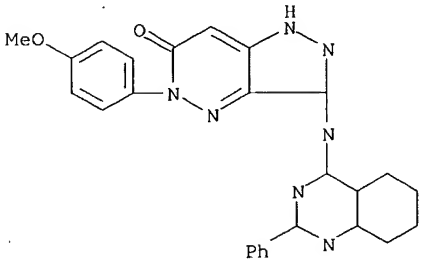

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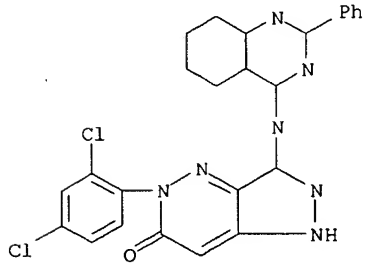

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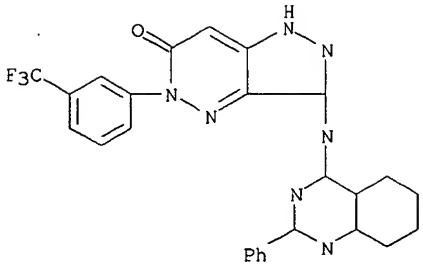

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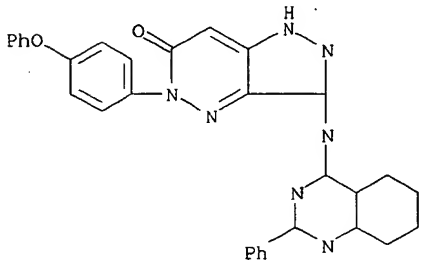

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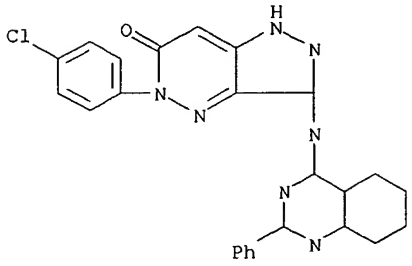

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ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:220581 HCAPLUS
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TI Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
IN Golec, Julian M. C.; Charrier, Jean-Damien; Knegtel, Ronald; Bebbington, David; Davies, Robert; Li, Pan
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 357 pp.
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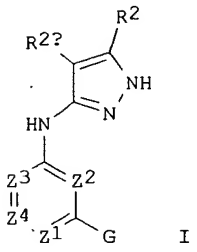

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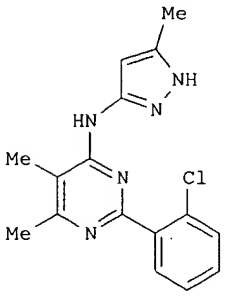

II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrazolamines and indazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N; at least one of Z1 or Z3 = N]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**,

[5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

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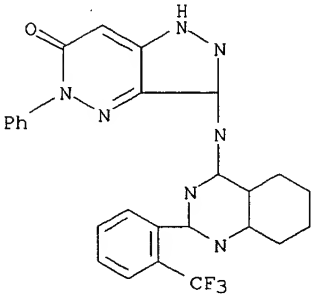

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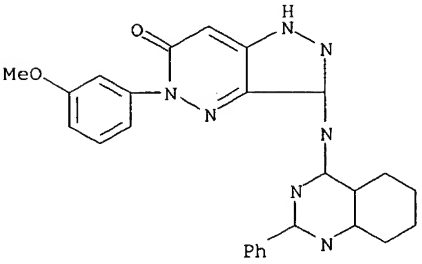

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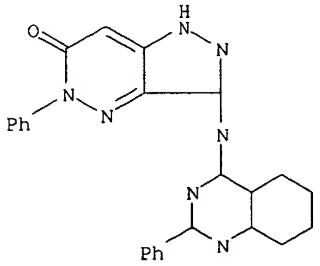

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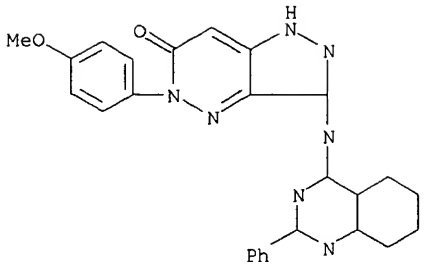

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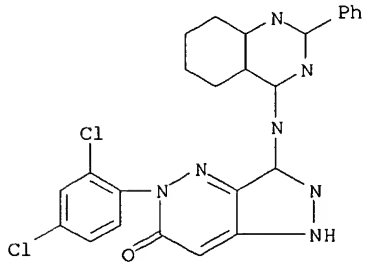

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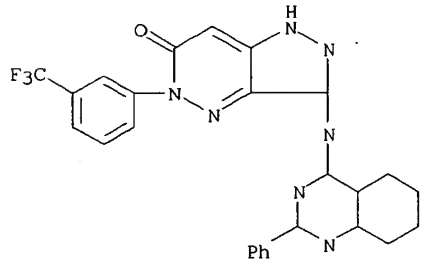

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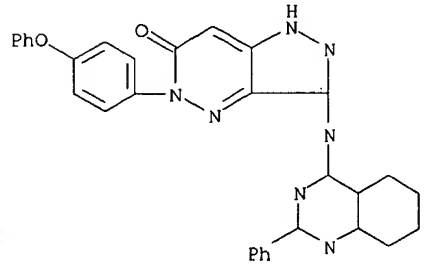

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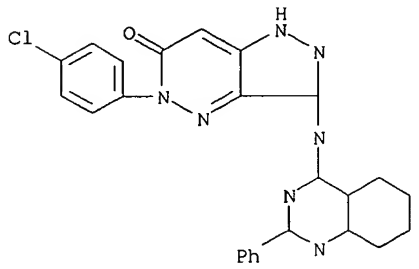

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RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:220580 HCAPLUS
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TI Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes and Alzheimer's disease.
IN Davies, Robert; Bebbington, David; Binch, Haley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 357 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 14

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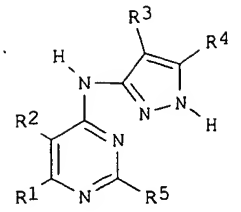

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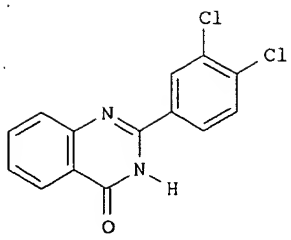

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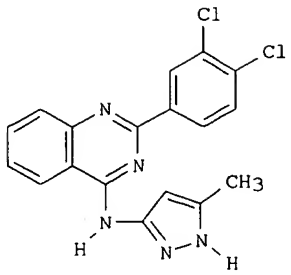


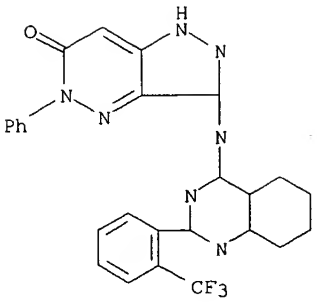
III

AB The preparation of title compds. I and their pharmaceutically acceptable salts or prodrugs is described [wherein: R1, R2 = dependently form (un)substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclo ring; R3, R4 = independently H, aliphatic, aryl, heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinazolone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compds. I are inhibitors of GSK-3 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I inhibited the following kinases with Kis reported < 100 nM: GSK-3β (163 compds.), AURORA-2 (65 compds.), CDK-2 (no data), ERK2 (8 compds.), AKT (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described.

IT **404827-31-2P 404829-16-9P 404829-17-0P**
404829-18-1P 404829-19-2P 404829-21-6P
404829-22-7P 404829-23-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase inhibitors)

RN 404827-31-2 HCAPLUS

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



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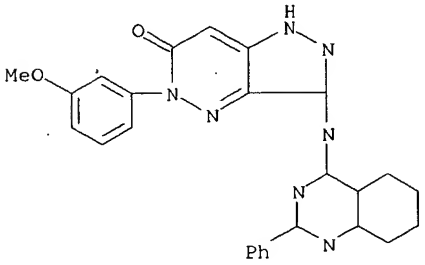

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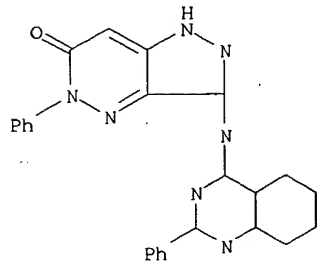
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



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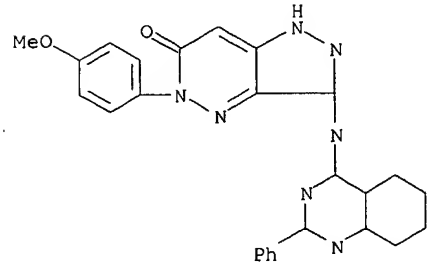
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



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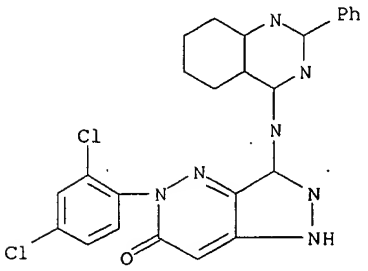
RN 404829-19-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-
(CA INDEX NAME)



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RN 404829-21-6 HCAPLUS
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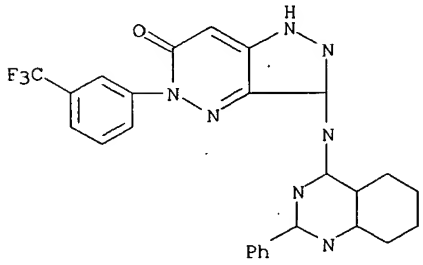
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



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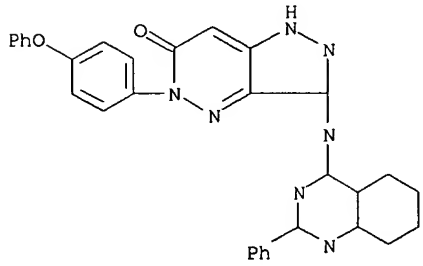
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA
INDEX NAME)



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RN 404829-23-8 HCAPLUS
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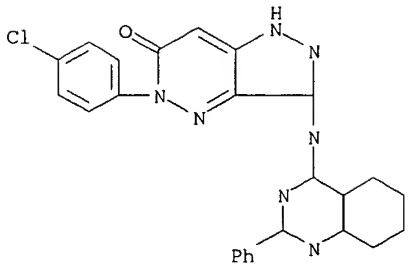
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA
INDEX NAME)



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RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:220579 HCAPLUS
DN 136:247580
TI Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
IN Davies, Robert; Li, Pan; Golec, Julian; Bebbington, David
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 406 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 14

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OS MARPAT 136:247580

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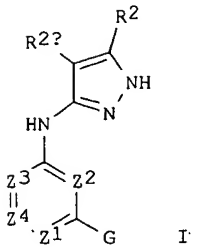

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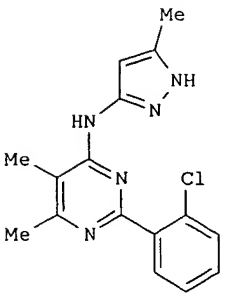


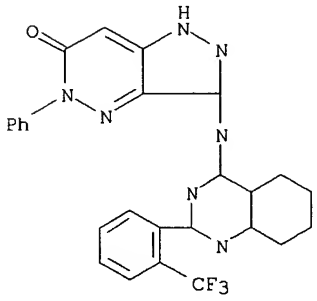
II

AB Title compds: I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6,

C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (triazinyl)pyrazolamines and indazolamines I [wherein Z1, Z2, and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



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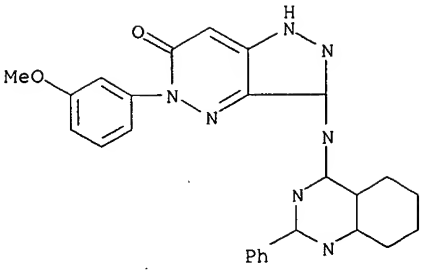

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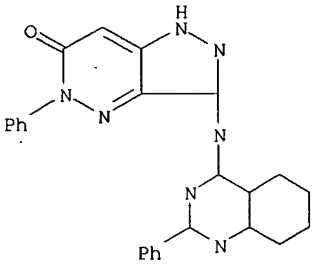

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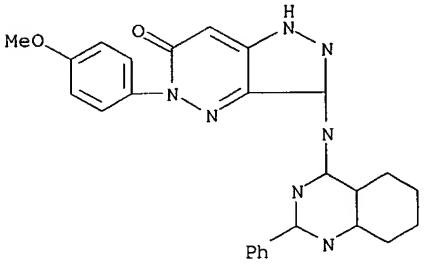

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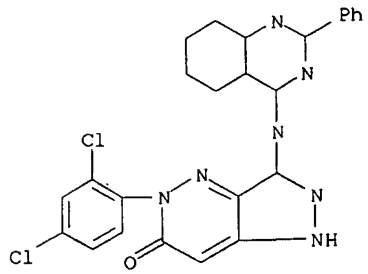

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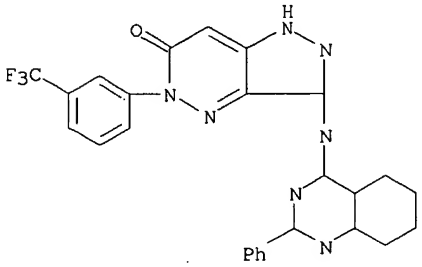
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



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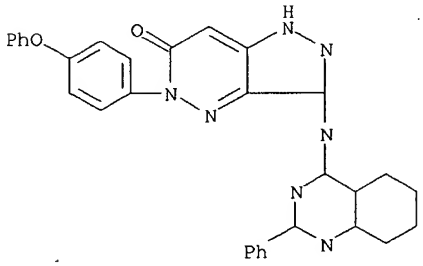

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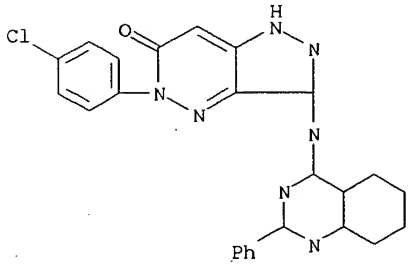

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RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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AN 2002:220578 HCAPLUS
DN 136:263164
TI Preparation of triazolamines as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
IN Bebbington, David; Knegtel, Ronald; Binch, Haley; Golec, Julian M. C.; Li, Pan; Charrier, Jean-Damien
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 377 pp.
CODEN: PIXXD2

DT Patent
LA English
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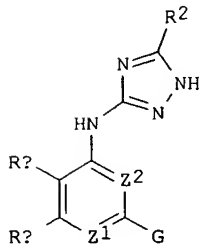

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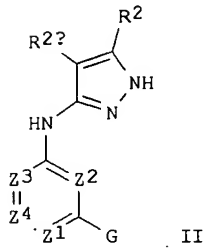

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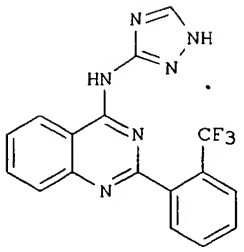


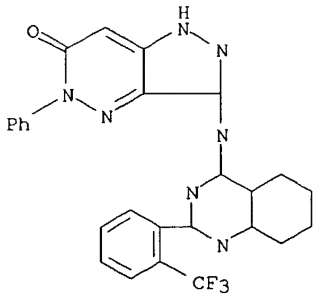
III

AB Triazolamines I and pyrazolamines II [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (heterocyclyl)triazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; R9 is defined above]. Examples include data for approx. 300 invention compds.

prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-quinazolinyl)-1H-1,2,4-triazol-3-amine III was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 1.0-20 μM for Aurora-2.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of triazolamines, pyrazolamines, and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



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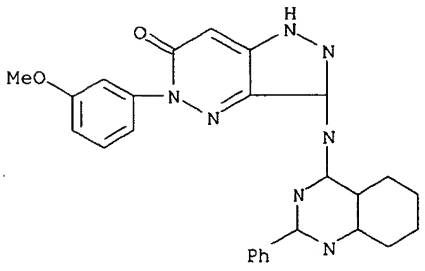

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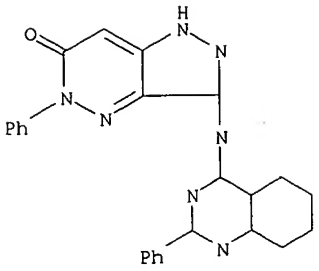

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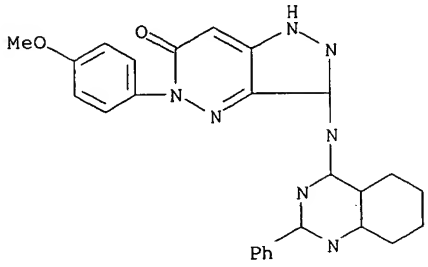

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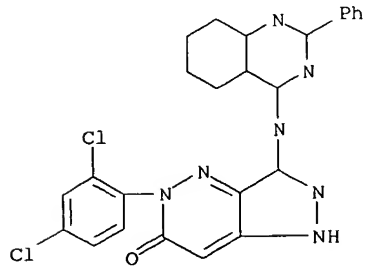

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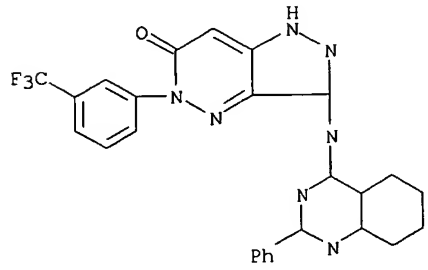

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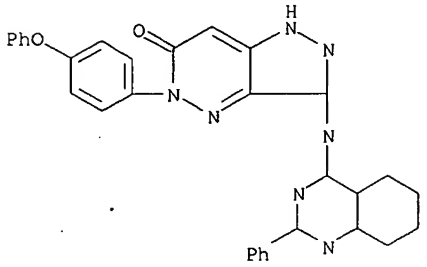

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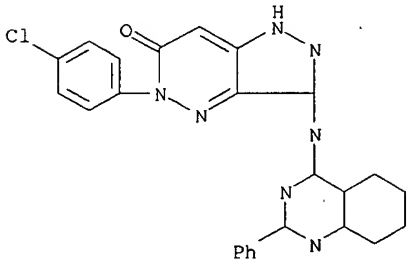

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TI Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease
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PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 376 pp.
CODEN: PIXXD2
DT Patent
LA English
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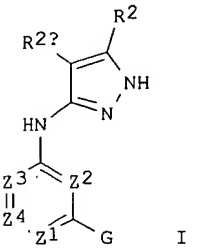

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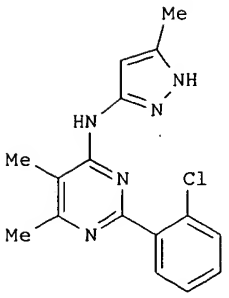


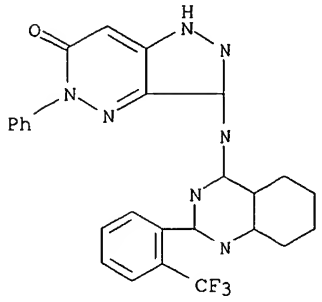
II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrimidinyl- and pyridinyl- pyrazolamines and indazolamines I [wherein Z1 = N, CRa, or CH; Z2 = N or CH; and at least one of Z1 or Z2 = N; Z3 = CRx; Z4 = CRy; Ra = halo, OR, COR, CO2R, COCOR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, etc.; R and R4 are defined above]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,

1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
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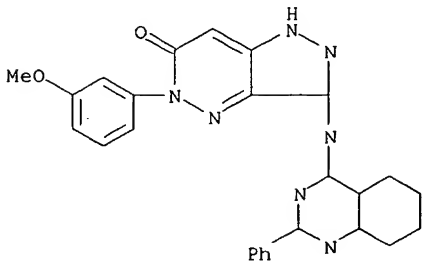
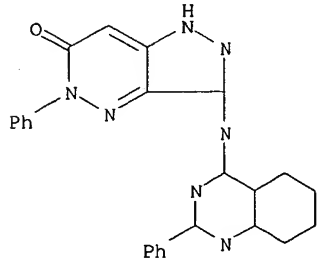
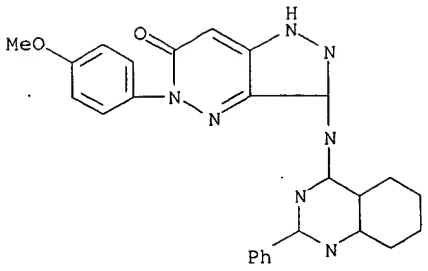


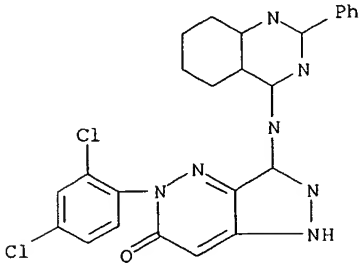
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-17-0 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



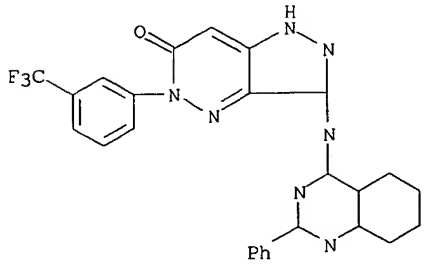
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-18-1 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



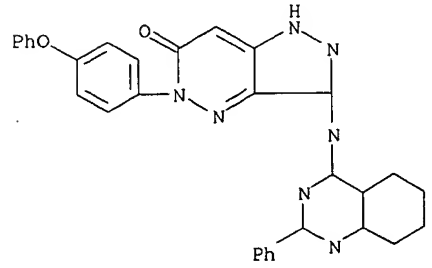
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-19-2 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-
(CA INDEX NAME)



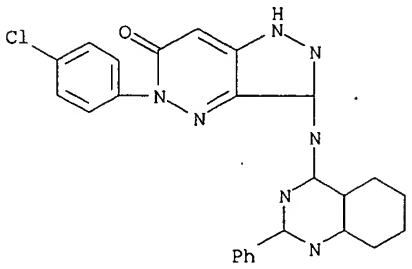
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-21-6 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-22-7 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA
INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-23-8 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)

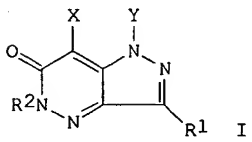


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2008 ACS on STN
AN 1993:482777 HCAPLUS
DN 119:82777
OREF 119:14663a,14666a
TI Preparation of photographic cyan couplers
IN Ikesu, Satoru; Kita, Hiroshi; Kaneko, Yutaka
PA Konica Co., Japan
SO Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

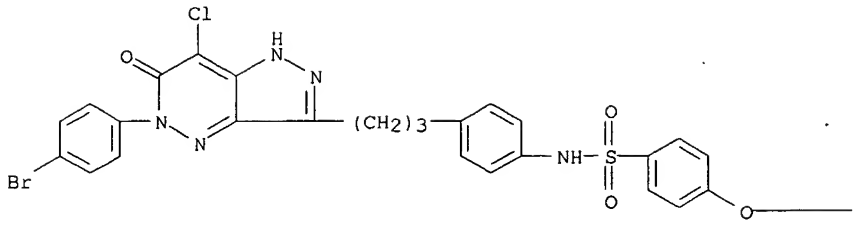
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP----04307542	A	19921029	1991JP-000097860	19910404 <--
PRAI 1991JP-000097860		19910404 <--		

GI



AB Pyrazolopyridazine derivs. (I; R1, R2, Y = H, substituent; X = H, substituent leaving upon reaction with the oxidized form of a color developing agent) are prepared I showed excellent stability against heat, humidity, and light.
IT **148665-09-2 148665-15-0 148665-16-1**
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. cyan coupler)
RN 148665-09-2 HCAPLUS
CN Benzenesulfonamide, N-[4-[3-[5-(4-bromophenyl)-7-chloro-5,6-dihydro-6-oxo-1H-pyrazolo[4,3-c]pyridazin-3-yl]propyl]phenyl]-4-(dodecyloxy)- (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

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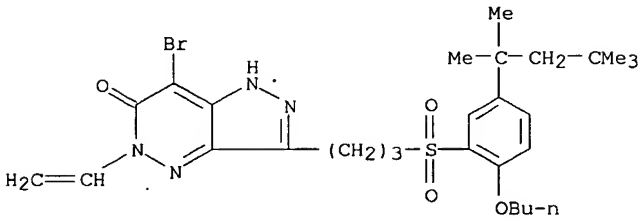
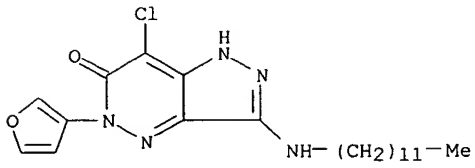
RN 148665-15-0 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 7-bromo-3-[3-[[2-butoxy-5-(1,1,3,3-tetramethylbutyl)phenyl]sulfonyl]propyl]-5-ethenyl-1,5-dihydro- (CA INDEX NAME)



RN 148665-16-1 HCAPLUS
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 7-chloro-3-(dodecylamino)-5-(3-furanyl)-1,5-dihydro- (CA INDEX NAME)



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CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

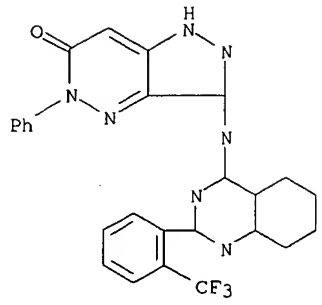
FILE 'USPATOLD' ENTERED AT 10:57:28 ON 05 DEC 2008
CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 10:57:28 ON 05 DEC 2008
CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs hitstr l21 tot

L21 ANSWER 1 OF 40 USPATFULL on STN
AN 2007:309342 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Binch, Hayley, Harwell, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Golec, Julian, Ashbury, UNITED KINGDOM
Patel, Sanjay, Abingdom, UNITED KINGDOM
Charrier, Jean-Damien, Bishop's Itchington, UNITED KINGDOM
Kay, David, UNITED STATES
Davies, Robert, Arlington, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
Wannamaker, Marion, Stow, MA, UNITED STATES
Forster, Cornelia, Pelham, NH, UNITED STATES
Pierce, Albert, Somerville, MA, UNITED STATES
PI US-20070270444 A1 20071122
AI 2006US-000369220 A1 20060306 (11)
RLI Division of Ser. No. 2003US-000624800, filed on 22 Jul 2003, GRANTED, Pat. No. US-----7008948 Division of Ser. No. 2001US-000952671, filed on 14 Sep 2001, GRANTED, Pat. No. US-----6660731
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INC., 130 WAVERLY STREET, CAMBRIDGE, MA, 02139-4242, US
CLMN Number of Claims: 19
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8161
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IV: ##STR1## wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3, or taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-8 membered ring having 1-3 ring heteroatoms selected from oxygen, sulfur, or nitrogen; and R.sup.2, R.sup.2', T, and R.sup.3 are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

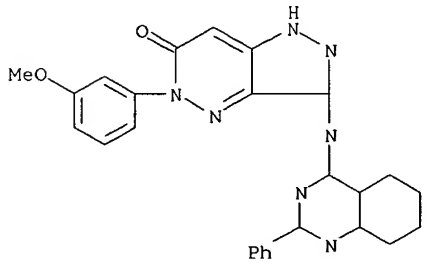
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL

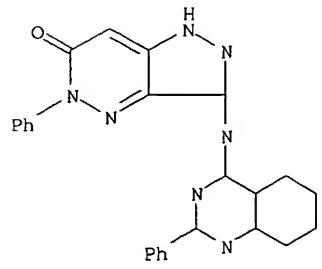
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

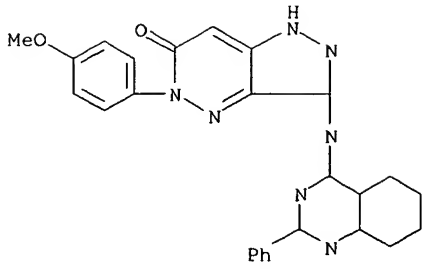
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

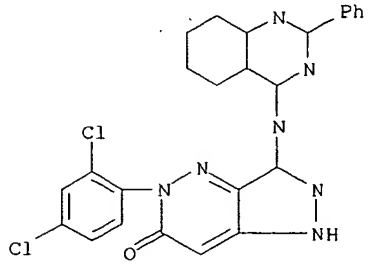
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

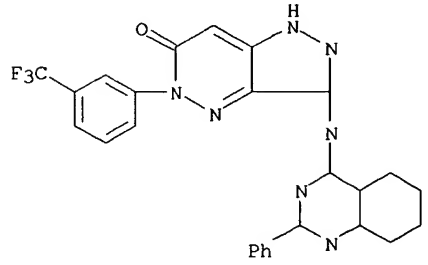
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

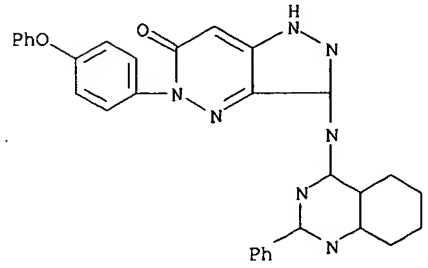
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL

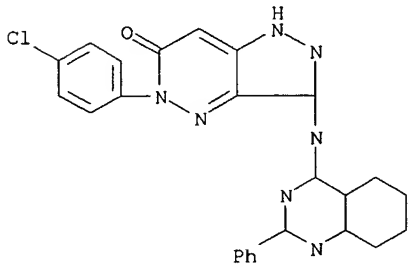
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 2 OF 40 USPATFULL on STN

AN 2006:302298 USPATFULL

TI Triazole compounds useful as protein kinase inhibitors

IN Bebbington, David, Newbury, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
Binch, Hayley, Harwell, UNITED KINGDOM
Golec, Julian M. C., Faringdon, UNITED KINGDOM
Li, Pan, Arlington, MA, UNITED STATES
Charrier, Jean-Damien, Grove, UNITED KINGDOM

PI US-20060258658 A1 20061116

AI 2006US-000492450 A1 20060725 (11)

RLI Division of Ser. No. 2001US-000953471, filed on 14 Sep 2001, GRANTED, Pat. No. US-----7115739

PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--

DT Utility

FS APPLICATION

LREP VERTEX PHARMACEUTICALS INC., 130 WAVERLY STREET, CAMBRIDGE, MA, 02139-4242, US

CLMN Number of Claims: 47

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 9400

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention describes novel triazole compounds of formula IX: ##STR1## wherein Z.sup.1 is nitrogen or CR.sup.9 and Z.sup.2 is nitrogen or CH, provided that at least one of Z.sup.1 and Z.sup.2 is nitrogen; G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3, or R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused ring; R.sup.1, R.sup.3, and T are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of GSK-3 and Aurora, for treating diseases such as diabetes, cancer, and Alzheimer's disease.

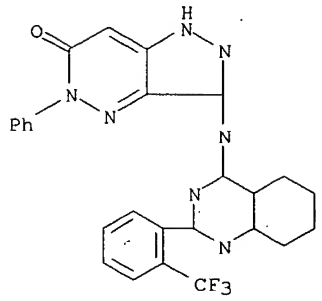
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**,

[6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPATFULL

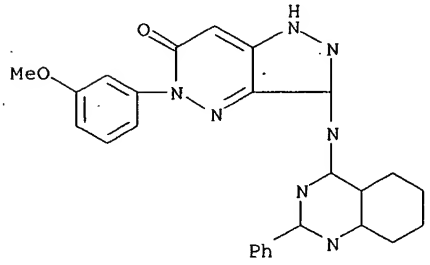
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

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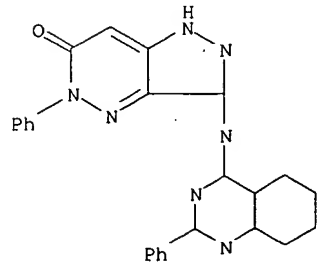

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

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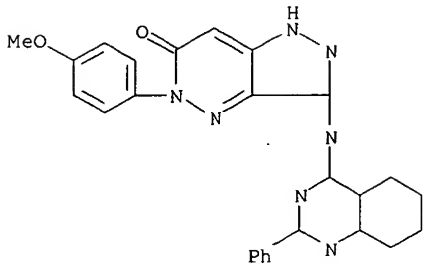
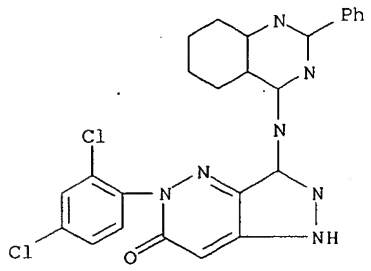
INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

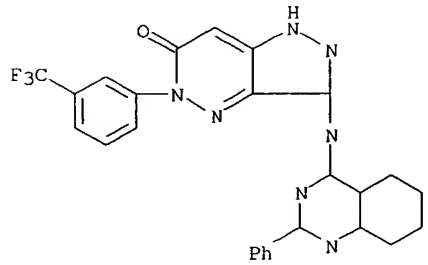
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

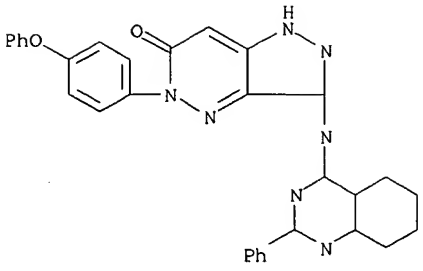
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

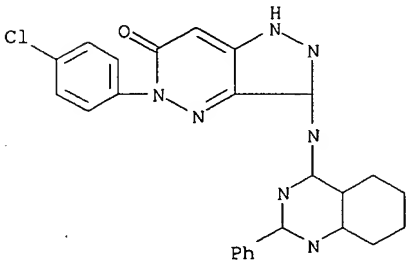
RN 404829-22-7 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 3 OF 40 USPATFULL on STN
AN 2005:5004 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Binch, Hayley, Harwell Oxon, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Golec, Julian, Ashbury, UNITED KINGDOM
Patel, Sanjay, Abingdom, UNITED KINGDOM
Charrier, Jean- Damien, Bishop's Itchington, UNITED KINGDOM
Kay, David, Somerville, MA, UNITED STATES
Davies, Robert, Arlington, MA, UNITED STATES
PI US-20050004110 A1 20050106
US-----7098330 B2 20060829
AI 2001US-000952878 A1 20010914 (9) <--
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP Andrew S. Marks, Esq., VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02139-4242
CLMN Number of Claims: 36
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8420
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula III:
##STR1##

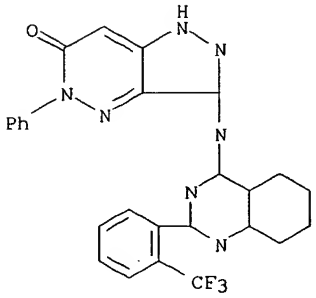
wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-8 membered carbocyclo ring; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPATFULL

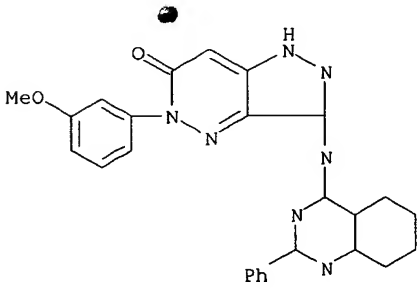
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL

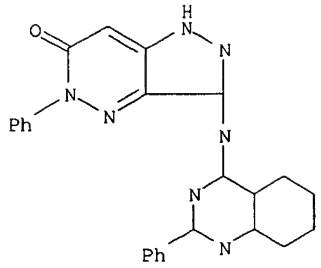
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

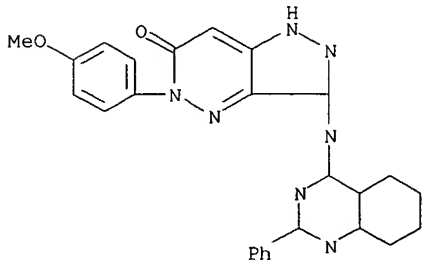
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

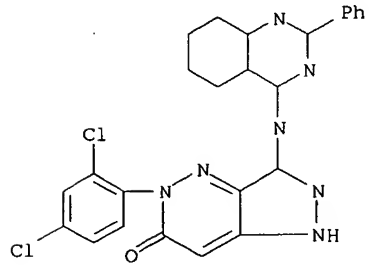
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

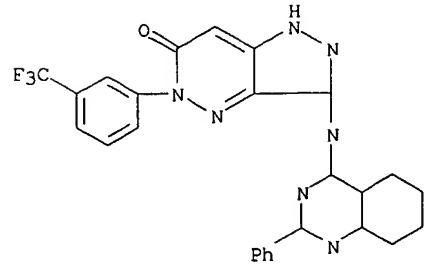
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

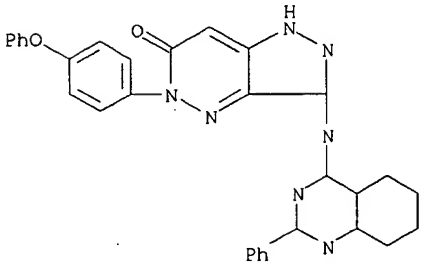
RN 404829-21-6 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



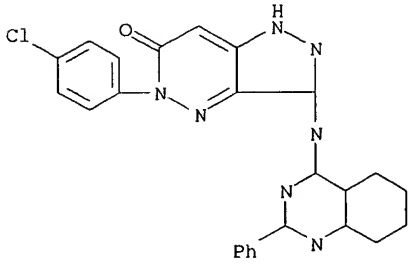
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 4 OF 40 USPATFULL on STN
AN 2004:286776 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury Berkshire, UNITED KINGDOM
Binch, Hayley, Harwell, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Golec, Julian, Swinden Wilts, UNITED KINGDOM
Patel, Sanjay, Abingdom, UNITED KINGDOM
Charrier, Jean-Damien, Southam, UNITED KINGDOM
Kay, David, Church Path, UNITED KINGDOM
Davies, Robert, Arlington, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
Wannamaker, Marion, Stow, MA, UNITED STATES
Forster, Cornelia, Pelham, NH, UNITED STATES
Pierce, Albert, Somerville, MA, UNITED STATES
PI US-20040224944 A1 20041111
US-----7008948 B2 20060307
AI 2003US-000624800 A1 20030722 (10)
RLI Division of Ser. No. 2001US-000952671, filed on 14 Sep 2001, GRANTED, Pat. No. US-----6660731
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INC., 130 WAVERLY STREET, CAMBRIDGE, MA, 02139-4242
CLMN Number of Claims: 28
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8533

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention describes novel pyrazole compounds of formula IV: ##STR1##

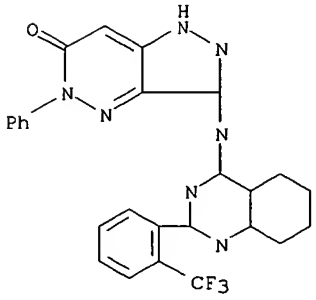
wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3, or taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-8 membered ring having 1-3 ring heteroatoms selected from oxygen, sulfur, or nitrogen; and R.sup.2, R.sup.2', T, and R.sup.3 are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPATFULL

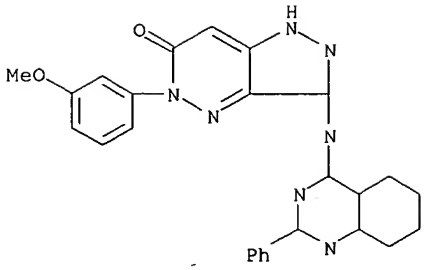
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL

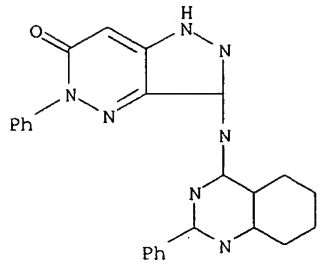
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

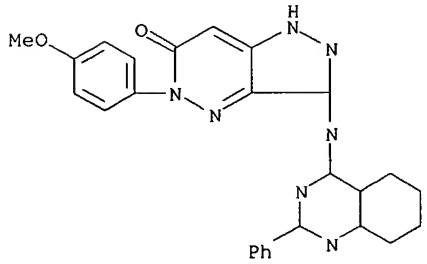
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

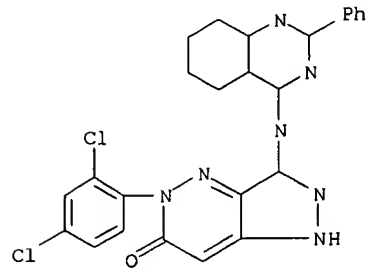
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

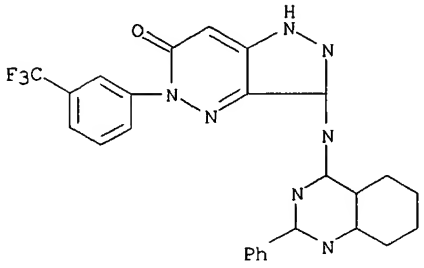
RN 404829-19-2 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



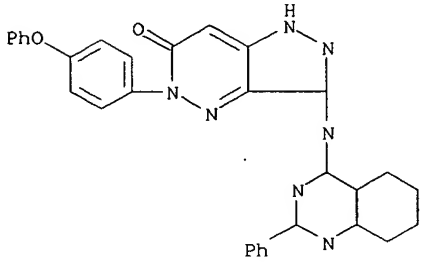
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



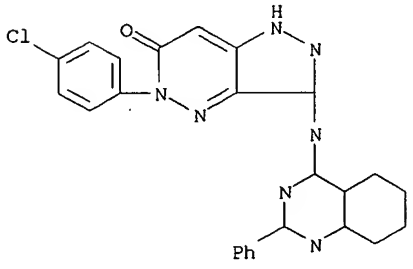
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 5 OF 40 USPATFULL on STN
AN 2004:274312 USPATFULL
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IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Miller, Andrew, Didcot, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
PI US-20040214814 A1 20041028
AI 2001US-000026992 A1 20011219 (10) <--
PRAI 2000US-000257887P 20001221 (60) <--

2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP Tina Powers, VERTEX PHARMACEUTICALS INC., 130 Waverly Street, Cambridge, MA, 02139-4242
CLMN Number of Claims: 27
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8610
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IIIc:
##STR1##

wherein R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x, R.sup.y, R.sup.2, and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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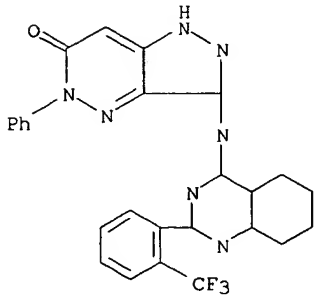
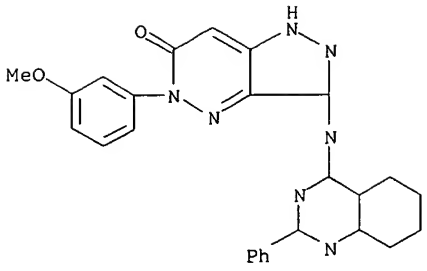
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



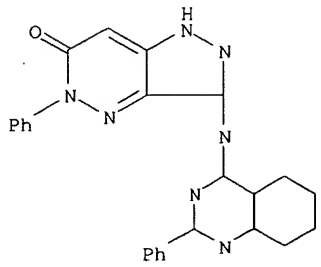
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

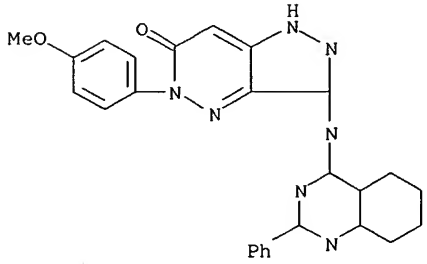
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

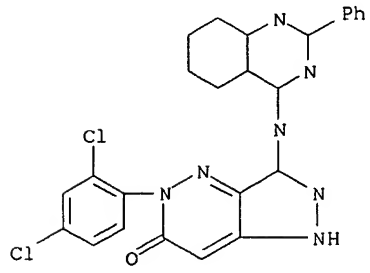
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

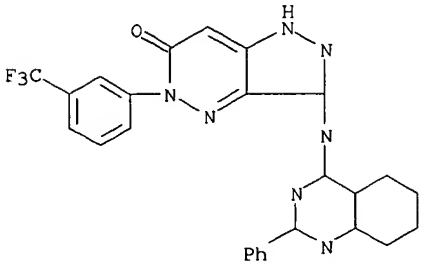
RN 404829-19-2 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



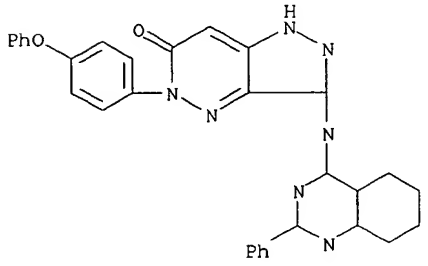
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



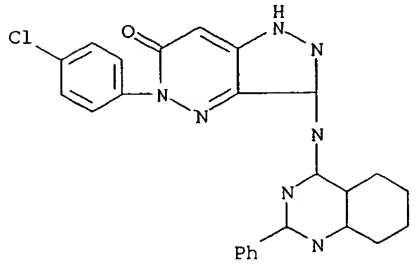
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



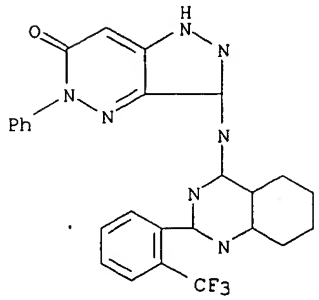
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 6 OF 40 USPATFULL on STN
AN 2004:216032 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Pierard, Francoise, Drayton, UNITED KINGDOM
PI US-20040167141 A1 20040826
US-----7427681 B2 20080923
AI 2004US-000775699 A1 20040210 (10)
RLI Division of Ser. No. 2001US-000034019, filed on 20 Dec 2001, GRANTED,

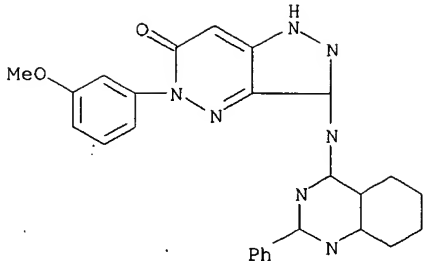
Pat. No. US-----6727251
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INC., 130 WAVERLY STREET, CAMBRIDGE, MA, 02139-4242
CLMN Number of Claims: 31
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2292
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula II: ##STR1##

wherein Z.sup.1 is nitrogen or CR.sup.8; Q is --S--, --O--, --N(R.sup.4)--, or --CH(R.sup.6)--; R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; and R.sup.y, R.sup.2, and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



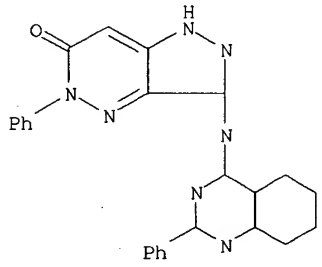
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

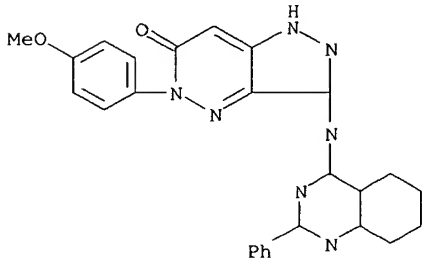
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

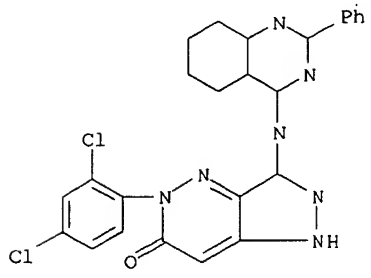
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

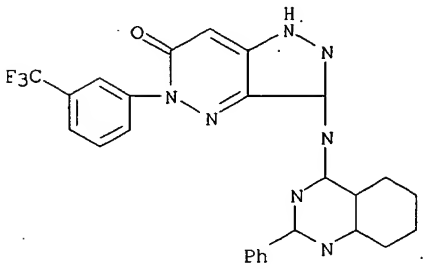
RN 404829-19-2 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



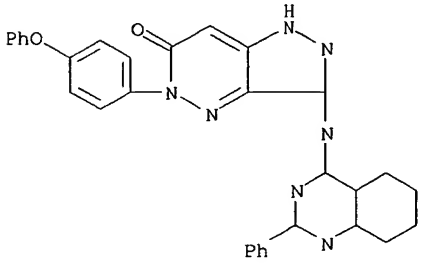
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



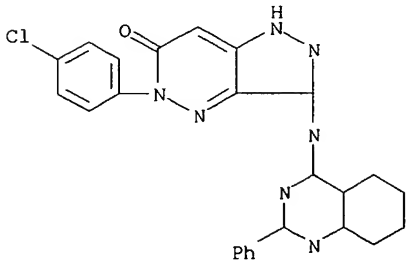
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



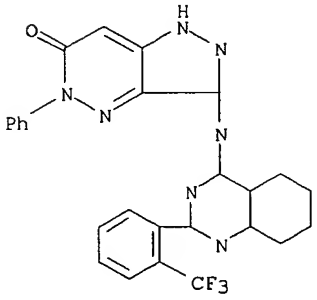
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 7 OF 40 USPATFULL on STN
AN 2004:204001 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
PI US-20040157893 A1 20040812
AI 2003US-000722374 A1 20031125 (10)
RLI Continuation of Ser. No. 2001US-000034683, filed on 20 Dec 2001, GRANTED, Pat. No. US-----6656939
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--

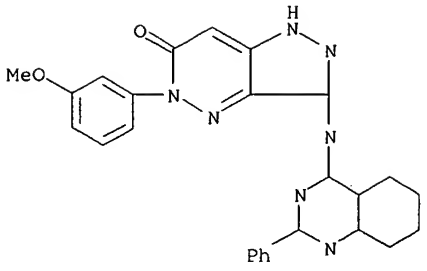
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INC., 130 WAVERLY STREET, CAMBRIDGE, MA, 02139-4242
CLMN Number of Claims: 26
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2148
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula III: ##STR1##

wherein Z.sup.1, Z.sup.2 and Z.sup.3 are as described in the specification; Q is --S--, --O--, --N(R.sup.4)--, or --CH(R.sup.6)--; R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



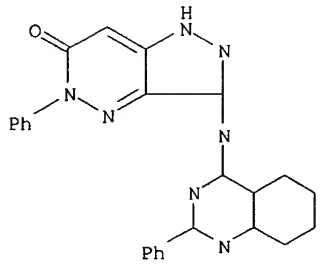
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

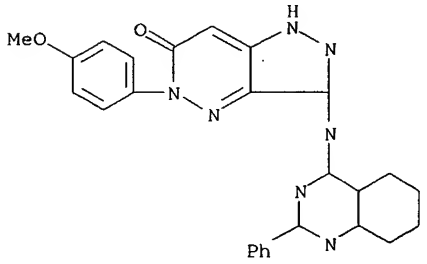
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

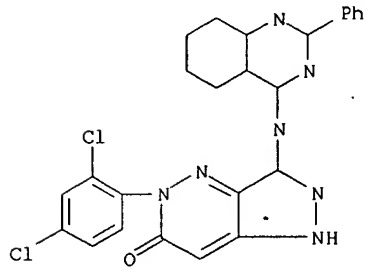
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

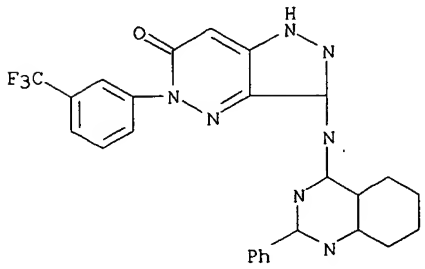
RN 404829-19-2 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



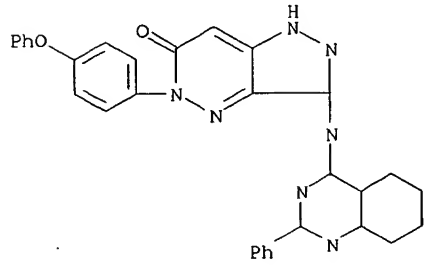
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 .USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-
(trifluoromethyl)phenyl]- (CA INDEX NAME)



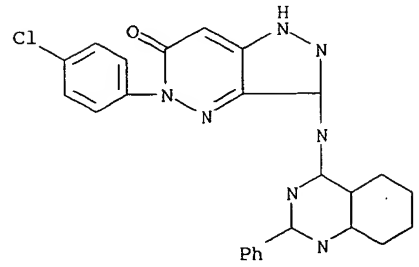
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



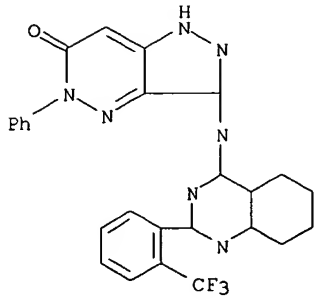
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 8 OF 40 USPATFULL on STN
AN 2004:172617 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
PI US-20040132781 A1 20040708
US-----7087603 B2 20060808
AI 2003US-000736426 A1 20031215 (10)
RLI Continuation of Ser. No. 2001US-000026966, filed on 19 Dec 2001, ABANDONED
PRAI 2000US-000257887P 20001221 (60) <--

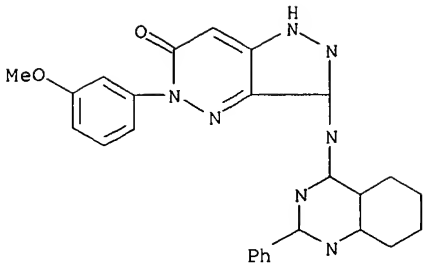
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INC., 130 WAVERLY STREET, CAMBRIDGE, MA, 02139-4242
CLMN Number of Claims: 29
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8905
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IV: ##STR1##

wherein Z.sup.1 or Z.sup.2 is nitrogen, Q is --S--, --O--, --N(R.sup.4)--, --C(R.sup.6').sub.2--, 1,2-cyclopropanediyl, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl, and R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3 or L-Z-R.sup.3, or R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7-membered ring having 0-3 heteroatoms; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



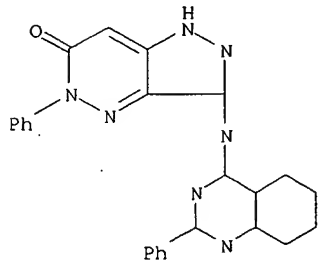
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

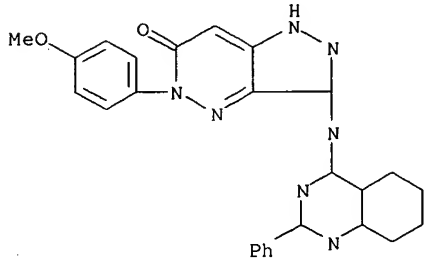
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

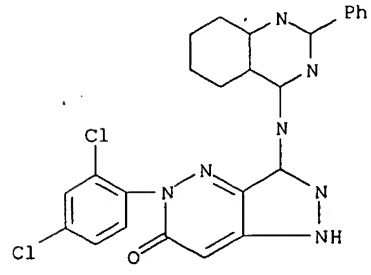
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

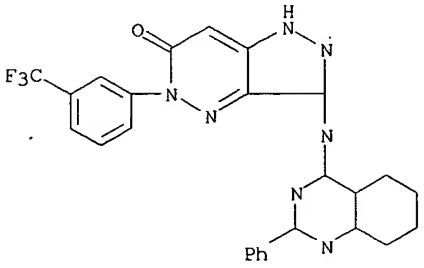
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

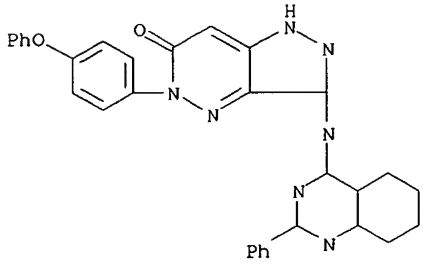
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL

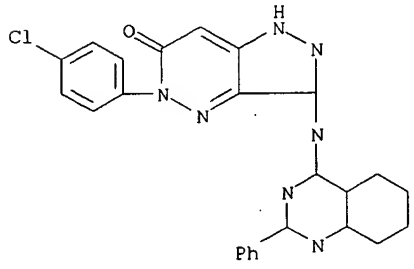
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 9 OF 40 USPATFULL on STN

AN 2004:152232 USPATFULL

TI Pyrazole compounds useful as protein kinase inhibitors

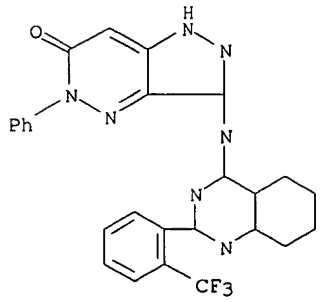
IN Davies, Robert, Arlington, MA, UNITED STATES
Bebbington, David, Berkshire, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Wannamaker, Marion, Stow, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
Forster, Cornelia, Pelham, NH, UNITED STATES
Pierce, Albert, Somerville, MA, UNITED STATES

PI US-20040116454 A1 20040617

US-----7390815 B2 20080624
AI 2003US-000692355 A1 20031023 (10)
RLI Division of Ser. No. 2001US-000955601, filed on 14 Sep 2001, GRANTED, Pat. No. US-----6696452
PRAI . 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INC., 130 WAVERLY STREET, CAMBRIDGE, MA, 02139-4242
CLMN Number of Claims: 34
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8549
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula II: ##STR1##

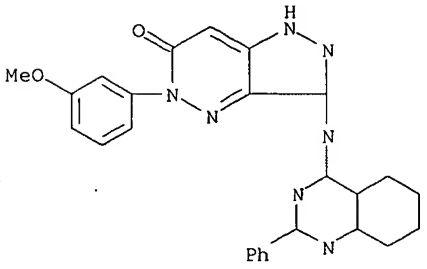
wherein Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, and R.sup.2, R.sup.2', R.sup.x, and R.sup.y are as described in the specification. Ring C has an ortho substituent and is optionally substituted in the non-ortho positions. R.sup.2 and R.sup.2' are optionally taken together with their intervening atoms to form a fused ring system, such as an indazole ring; and R.sup.x and R.sup.y are optionally taken together with their intervening atoms to form a fused ring system, such as a quinazoline ring. The compounds are useful as protein kinase inhibitors, especially as inhibitors of GSK-3, for treating diseases such as diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL

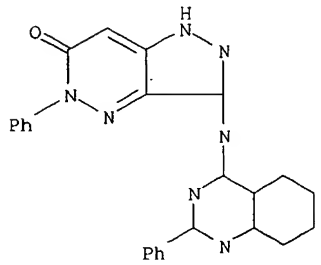
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

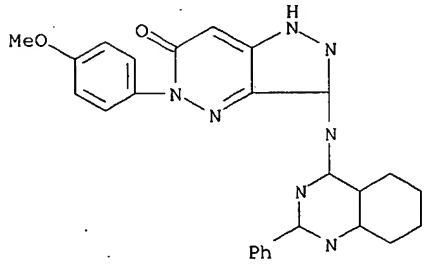
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

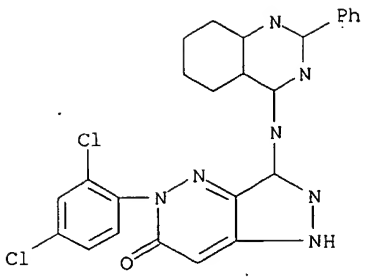
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

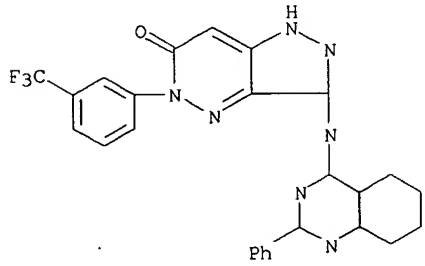
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

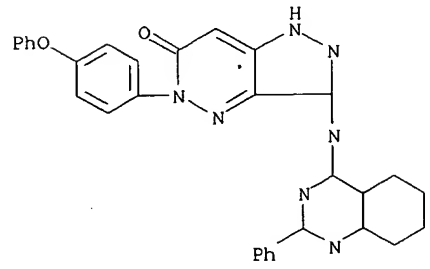
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL

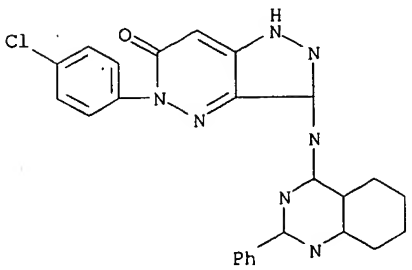
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 10 OF 40 USPATFULL on STN
AN 2004:127517 USPATFULL
TI Triazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury Berkshire, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Binch, Hayley, Harwell Oxon, UNITED KINGDOM
Golec, Julian, Asbury Swinden, UNITED KINGDOM
Li, Pan, Arlington, MA, UNITED STATES
Charier, Jean-Damien, Bishop's Itchington, UNITED KINGDOM
PI US-20040097501 A1 20040520
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AI 2001US-000953471 A1 20010914 (9) <--
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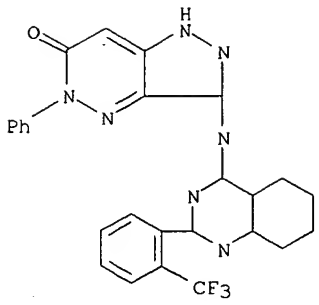
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02130-4646
CLMN Number of Claims: 47
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 9118
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel triazole compounds of formula IX:
##STR1##

wherein Z.sup.1 is nitrogen or CR.sup.9 and Z.sup.2 is nitrogen or CH, provided that at least one of Z.sup.1 and Z.sup.2 is nitrogen; G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3, or R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused ring; R.sup.1, R.sup.3, and T are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of GSK-3 and Aurora, for treating diseases such as diabetes, cancer, and Alzheimer's disease.

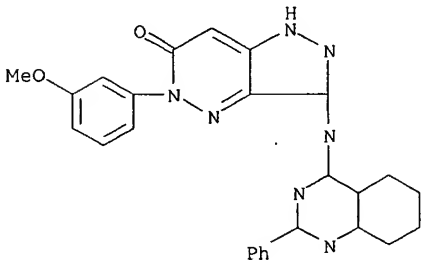
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL

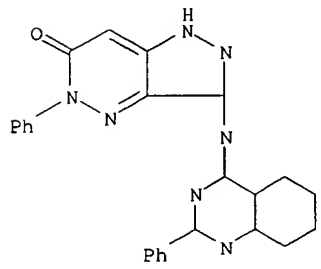
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

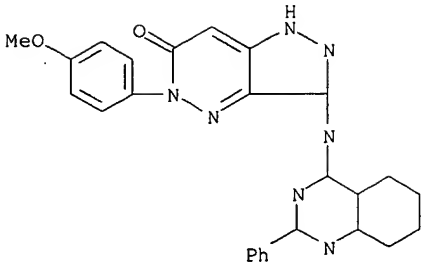
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

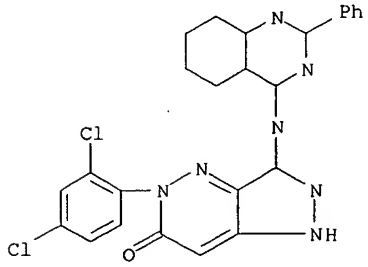
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

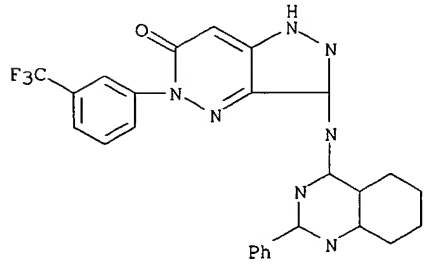
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

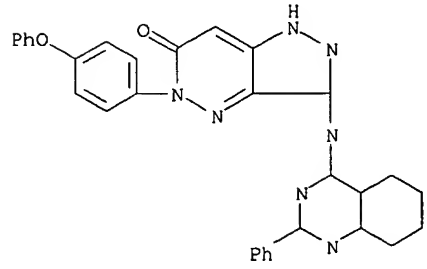
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

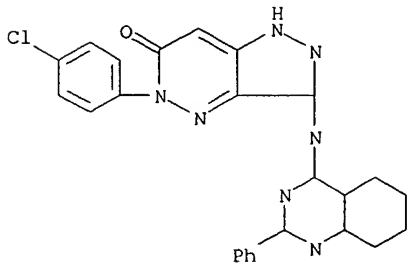
RN 404829-22-7 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

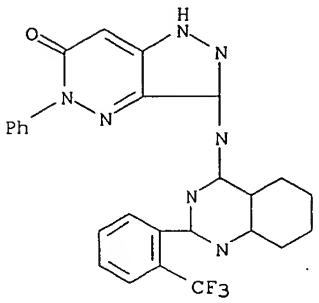
L21 ANSWER 11 OF 40 USPATFULL on STN
AN 2003:153422 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
PI US-20030105090 A1 20030605
AI 2001US-000026966 A1 20011219 (10) <--
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP Tina Powers, VERTEX PHARMACEUTICALS INC., 130 Waverly Street, Cambridge, MA, 02139-4242
CLMN Number of Claims: 29
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 9063
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IV: ##STR1##

wherein Z.sup.1 or Z.sup.2 is nitrogen, Q is --S--, --O--, --N(R.sup.4)--, --C(R.sup.6).sub.2--, 1,2-cyclopropanediyl, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl, and R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3 or L-Z-R.sup.3, or R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 heteroatoms; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-

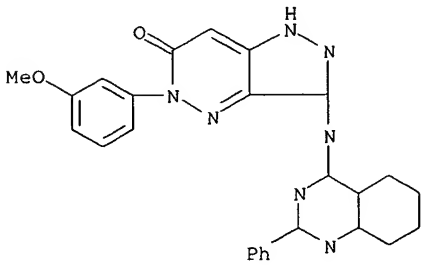
phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



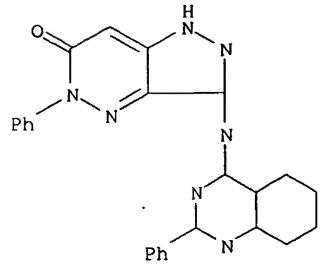
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



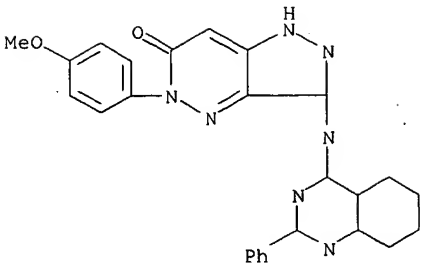
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

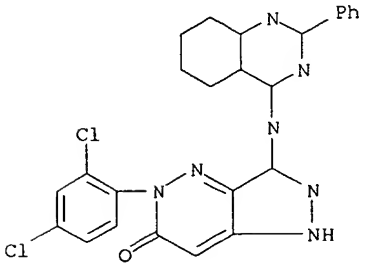
RN 404829-18-1 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

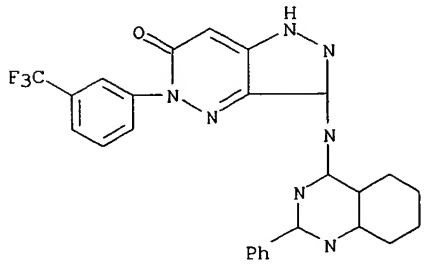
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

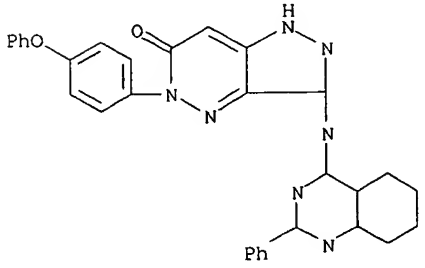
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



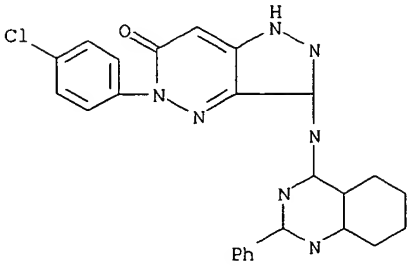
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

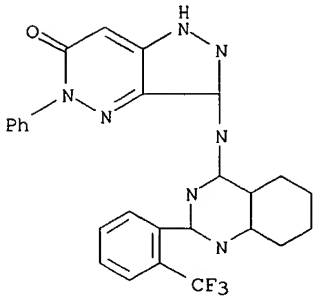
L21 ANSWER 12 OF 40 USPATFULL on STN
AN 2003:120843 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Davies, Robert, Arlington, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
PI US-20030083327 A1 20030501
US-----6610677 B2 20030826
AI 2001US-000952833 A1 20010914 (9) <--
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02130-4646
CLMN Number of Claims: 25
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8910
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compositions comprising a pharmaceutically acceptable carrier and a compound of formula VIII: ##STR1##

wherein Z.sup.1 is N or C--R.sup.9, Z.sup.2 is N or CH, and Z.sup.3 is N or C--R.sup.x, provided that one of Z.sup.1 and Z.sup.3 is nitrogen; G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; and R.sup.x, R.sup.1, R.sup.2, R.sup.2', R.sup.3, and R.sup.9 are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-

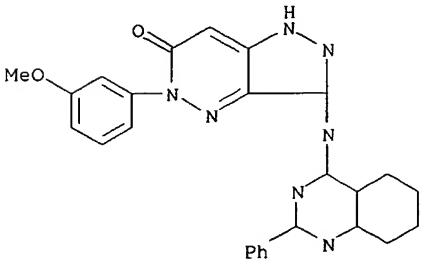
yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**,
[5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



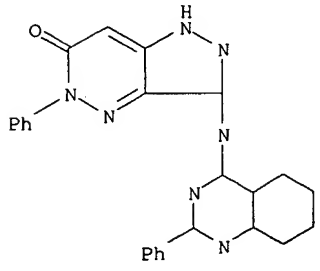
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



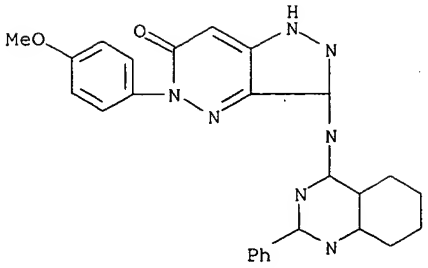
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

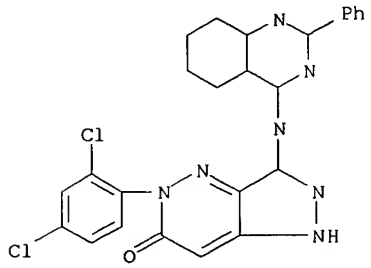
RN 404829-18-1 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

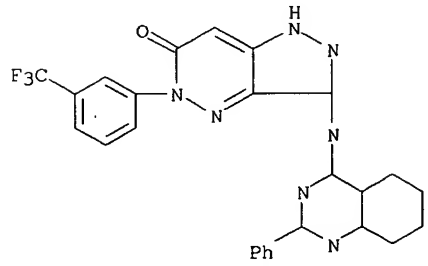
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

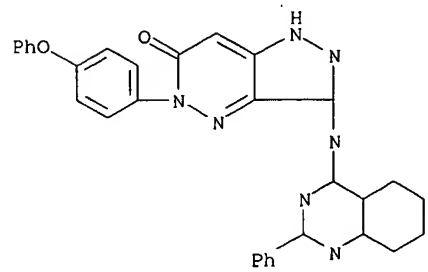
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL

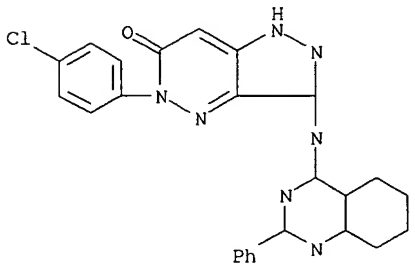
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 13 OF 40 USPATFULL on STN

AN 2003:113534 USPATFULL

TI Pyrazole compounds useful as protein kinase inhibitors

IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Davies, Robert, Arlington, MA, UNITED STATES
Golec, Julian M.C., Swindon, UNITED KINGDOM
Kay, David, Purton, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
Patel, Sanjay, Abingdon, UNITED KINGDOM

PI US-20030078275 A1 20030424
US-----6653301 B2 20031125

AI 2001US-000027001 A1 20011219 (10) <--

PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--

DT Utility

FS APPLICATION

LREP Tina Powers, VERTEX PHARMACEUTICALS INC., 130 Waverly Street, Cambridge, MA, 02139-4242

CLMN Number of Claims: 30

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 9081

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention describes novel pyrazole compounds of formula IIa: ##STR1##

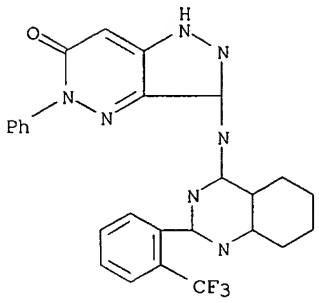
wherein R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 heteroatoms; and R.sup.2 and R.sup.2 are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**,

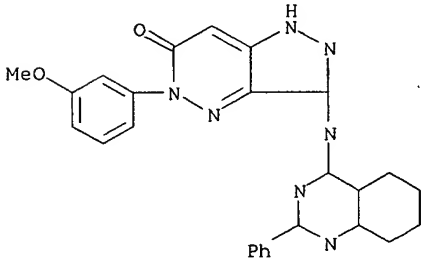
[5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



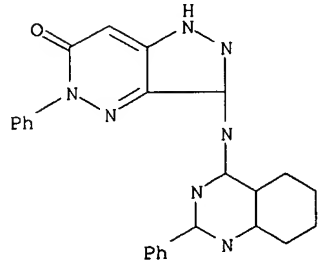
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



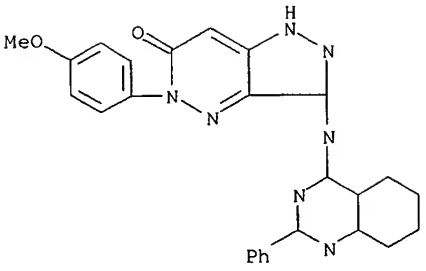
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

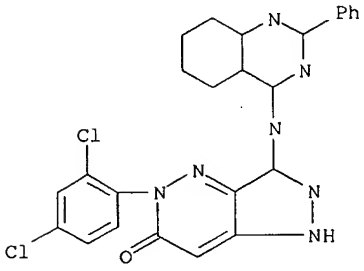
RN 404829-18-1 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

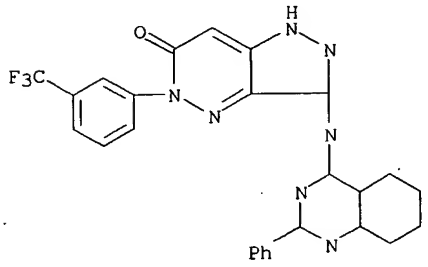
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

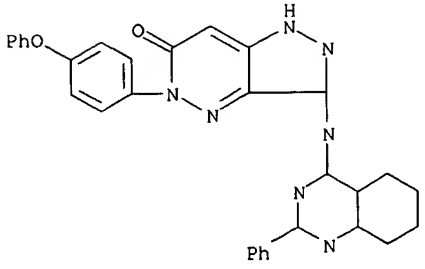
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



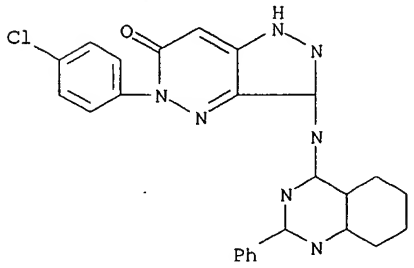
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

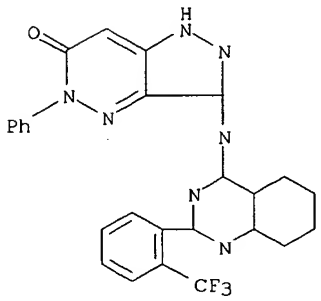
L21 ANSWER 14 OF 40 USPATFULL on STN
AN 2003:113425 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Davies, Robert, Arlington, MA, UNITED STATES
Bebbington, David, Newbury, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Wannamaker, Marion, Stow, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
Forster, Cornelia, Pelham, NH, UNITED STATES
Pierce, Albert, Somerville, MA, UNITED STATES
PI US-20030078166 A1 20030424
US-----6696452 B2 20040224
AI 2001US-000955601 A1 20010914 (9) <--
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02130-4646
CLMN Number of Claims: 34
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8804
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula II:
##STR1##

wherein Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, and R.sup.2, R.sup.2', R.sup.x, and R.sup.y are as described in the specification. Ring C has an ortho substituent and is optionally substituted in the non-ortho positions. R.sup.2 and R.sup.2' are optionally taken together with their intervening atoms to form a fused ring system, such as an indazole ring; and R.sup.x and R.sup.y are optionally taken together with their intervening atoms to form a fused ring system, such as a quinazoline ring. The compounds are useful as protein kinase inhibitors, especially as inhibitors of GSK-3, for treating diseases such as diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**,

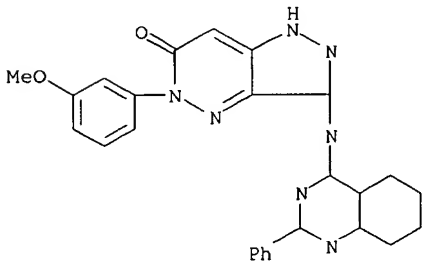
[6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



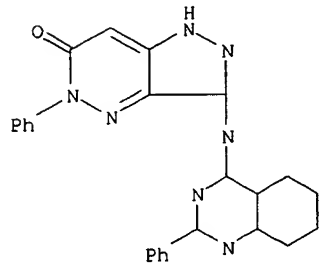
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

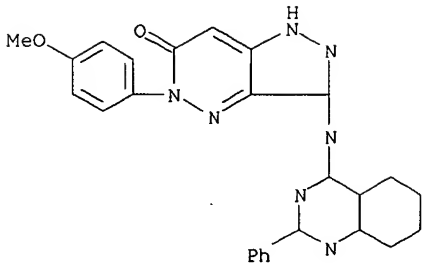
RN 404829-17-0 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA

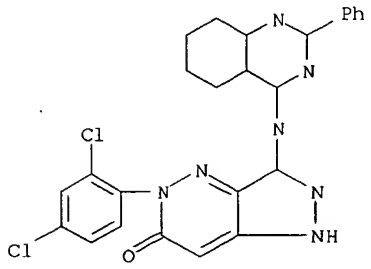
INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

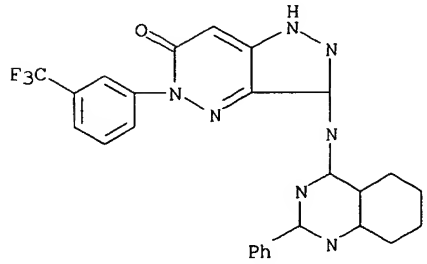
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

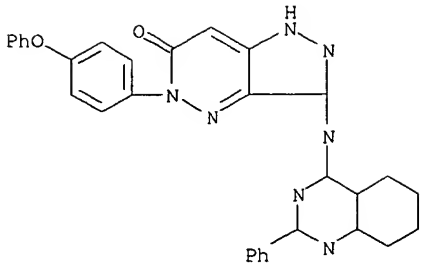
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

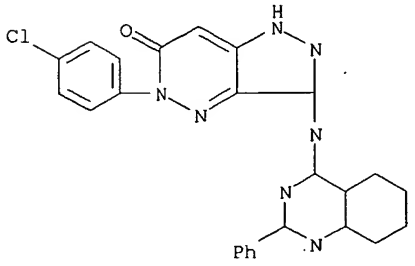
RN 404829-22-7 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 15 OF 40 USPATFULL on STN
AN 2003:106775 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury Berkshire, UNITED KINGDOM
Binch, Hayley, Harwell Oxon, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Golec, Julian, Ashbury, UNITED KINGDOM
Patel, Sanjay, Abingdom, UNITED KINGDOM
Charrier, Jean-Damien, Bishop's Itchington, UNITED KINGDOM
Kay, David, Church Path, UNITED KINGDOM
Davies, Robert, Arlington, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
Wannamaker, Marion, Stow, MA, UNITED STATES
Forster, Cornelia, Pelham, NH, UNITED STATES
Pierce, Albert, Somerville, MA, UNITED STATES
PI US-20030073687 A1 20030417
US-----6660731 B2 20031209
AI 2001US-000952671 A1 20010914 (9) <--
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02130-4646
CLMN Number of Claims: 25
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8698
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IV:
##STR1##

wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3, or taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-8 membered ring having

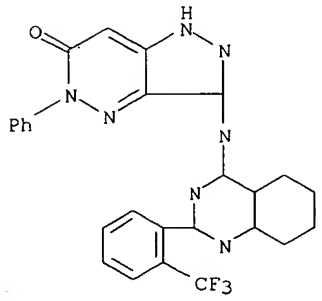
1-3 ring heteroatoms selected from oxygen, sulfur, or nitrogen; and R.sup.2, R.sup.2, T, and R.sup.3 are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPATFULL

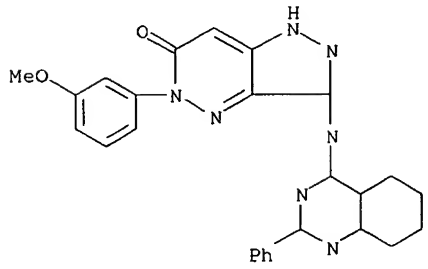
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL

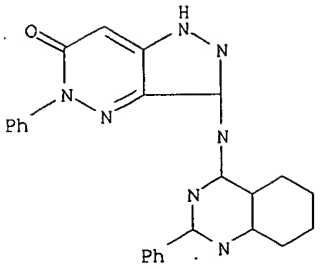
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

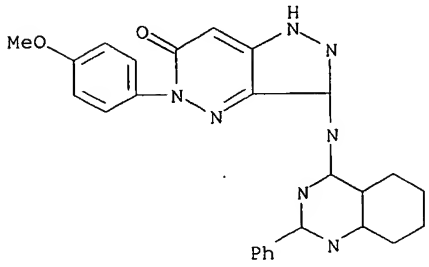
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

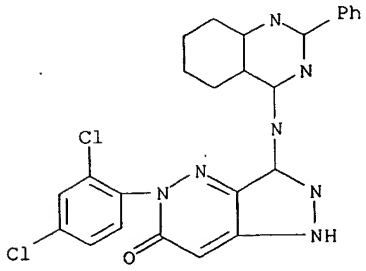
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

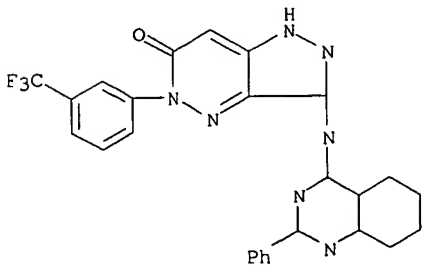
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

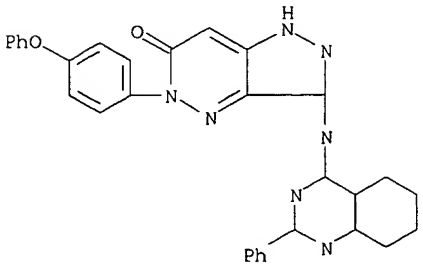
RN 404829-21-6 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



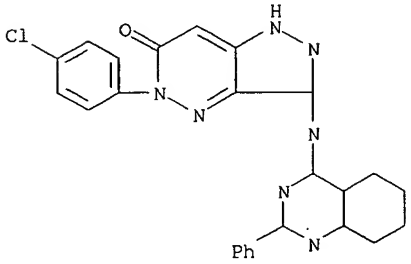
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 16 OF 40 USPATFULL on STN
AN 2003:93621 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Davies, Robert, Arlington, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
PI US-20030064982 A1 20030403
AI 2001US-000952875 A1 20010914 (9) <--
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02130-4646
CLMN Number of Claims: 25
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8570
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel protein kinase inhibitors of formula VII:
##STR1##

wherein G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.y is T-R.sup.3"; T is a valence bond or a C.sub.1-4 alkylidene chain; R.sup.3" is an optionally substituted group selected from C.sub.1-6 aliphatic, C.sub.3-10 carbocyclyl, C.sub.6-10 aryl, a heteroaryl ring having 5-10 ring atoms, or a heterocyclyl ring having 5-10 ring atoms; and R.sup.1, R.sup.2, and R.sup.2' are as

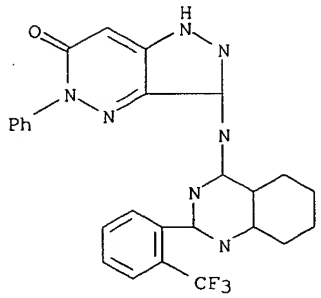
described in the specification. The protein kinase are useful for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPATFULL

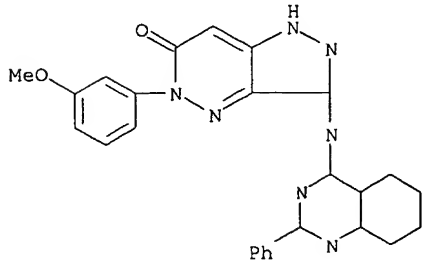
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPATFULL

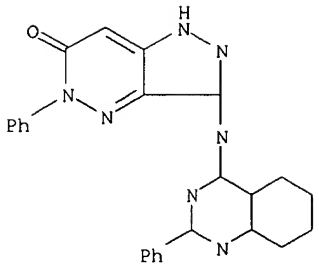
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

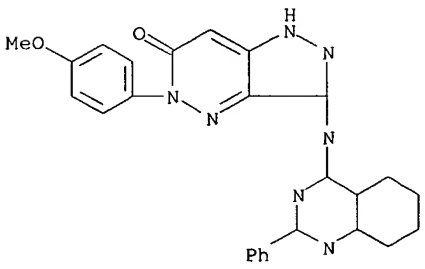
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

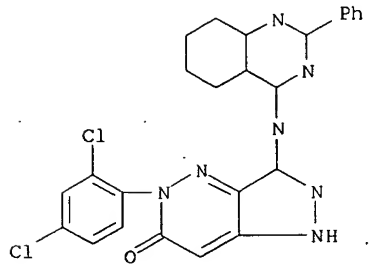
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

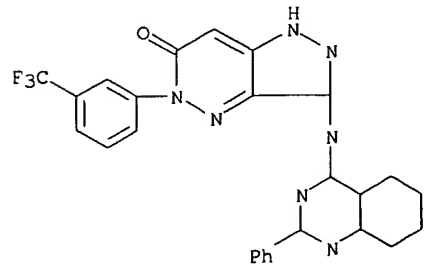
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

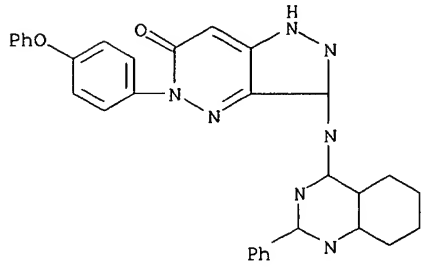
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL

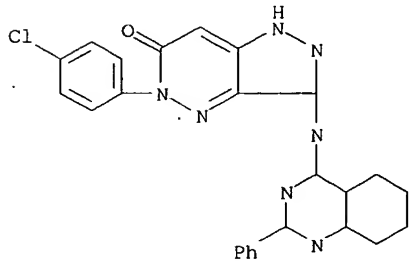
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 17 OF 40 USPATFULL on STN

AN 2003:93620 USPATFULL

TI Pyrazole compounds useful as protein kinase inhibitors

IN Knegtel, Ronald, Abingdom, UNITED KINGDOM
Bebbington, David, Newbury Berkshire, UNITED KINGDOM
Binch, Hayley, Oxon, UNITED KINGDOM
Golec, Julian, Swinden, UNITED KINGDOM
Patel, Sanjay, Oxon, UNITED KINGDOM
Charrier, Jean-Damien, Bishop's Itchington, UNITED KINGDOM
Kay, David, Purton Wiltshire, UNITED KINGDOM
Davies, Robert, Arlington, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
Wannamaker, Marion, Stow, MA, UNITED STATES
Forster, Cornelia, Pelham, NH, UNITED STATES
Pierce, Albert, Somerville, MA, UNITED STATES

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DT Utility

FS APPLICATION

LREP VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02130-4646

CLMN Number of Claims: 31

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 8962

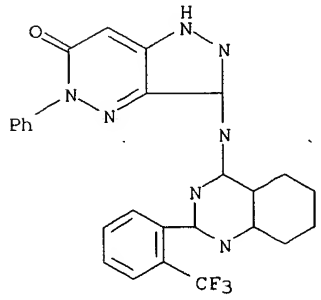
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention describes novel pyrazole compositions comprising a

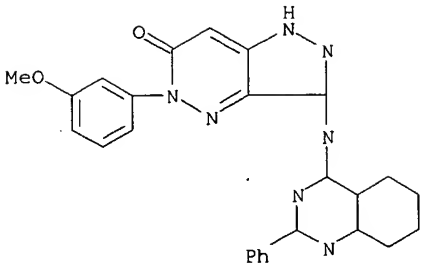
pharmaceutically acceptable carrier and a compound of formula V:
##STR1##

wherein Z.sup.1 is N, CR.sup.a, or CH, and Z.sup.2 is N or CH, provided one of Z.sup.1 and Z.sup.2 is nitrogen; G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T--R.sup.3, or R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused ring; and R.sup.1, R.sup.2, R.sup.2', R.sup.3, and T are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



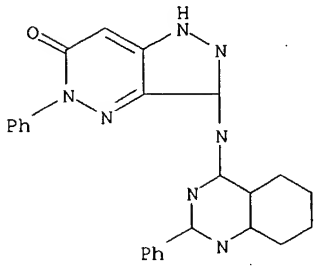
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

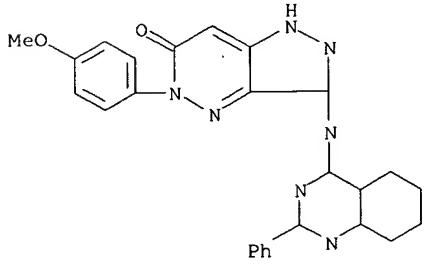
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

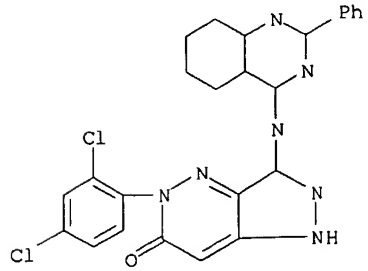
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

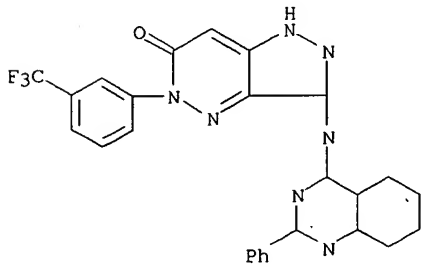
RN 404829-19-2 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



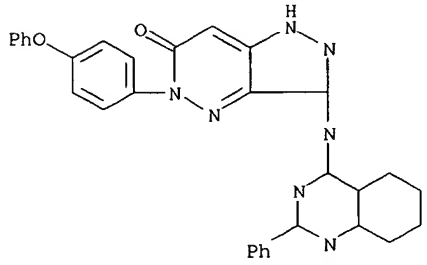
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
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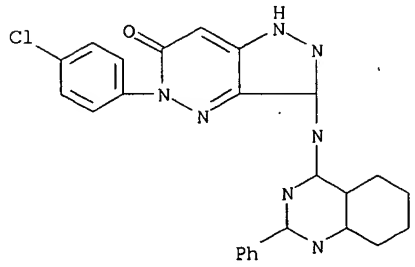
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 18 OF 40 USPATFULL on STN
AN 2003:79141 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
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Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Davies, Robert, Arlington, MA, UNITED STATES
Everitt, Simon, Beaconsfield, UNITED KINGDOM
Kay, David, Purton, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
Patel, Sanjay, Abingdon, UNITED KINGDOM
PI US-20030055068 A1 20030320

US-----6989385 B2 20060124
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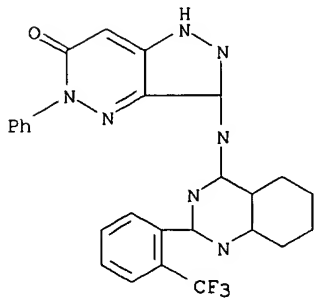
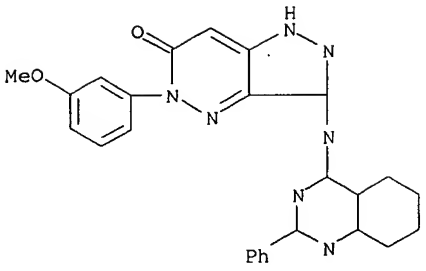
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP Tina Powers, VERTEX PHARMACEUTICALS INC., 130 Waverly Street, Cambridge, MA, 02139-4242
CLMN Number of Claims: 39
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8979
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IIc: ##STR1##

wherein R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 heteroatoms; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



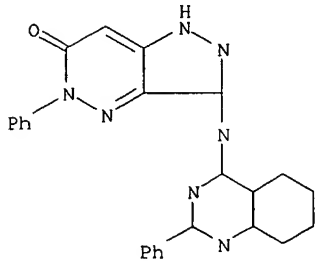
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

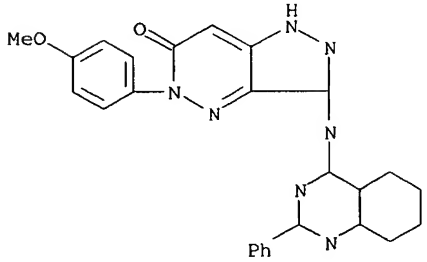
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

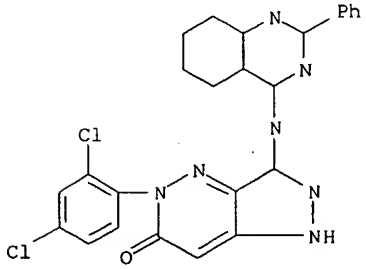
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

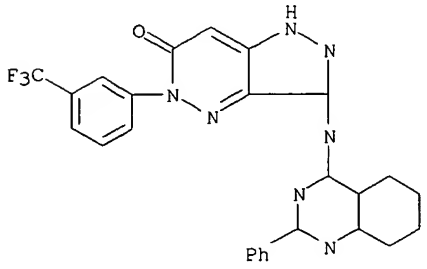
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

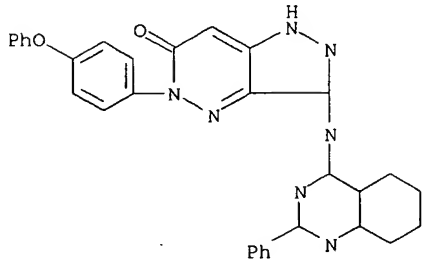
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL

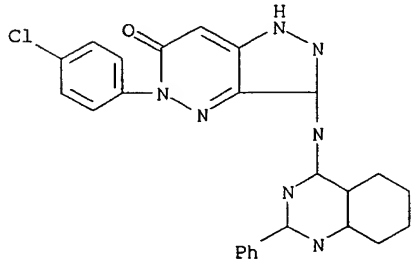
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 19 OF 40 USPATFULL on STN

AN 2003:79117 USPATFULL

TI Pyrazole compounds useful as protein kinase inhibitors

IN Davies, Robert, Arlington, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
Golec, Julian, Ashbury, UNITED KINGDOM

PI	US-20030055044	A1	20030320	
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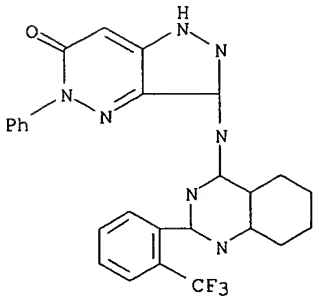
PRAI	2000US-000232795P		20000915 (60)	<--
	2000US-000257887P		20001221 (60)	<--
	2001US-000286949P		20010427 (60)	<--

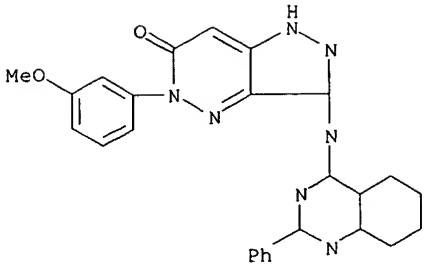
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02130-4646
CLMN Number of Claims: 58
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 9881
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention provides novel pyrazole compounds that are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3. The compounds may be used to treat abnormal physiological function leading to diseases such as cancer, diabetes and Alzheimer's disease. The compounds are represented by formula VI: ##STR1##

wherein G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.y is T--R.sup.3'; T is a valence bond or a C.sub.1-4 alkylidene chain; R.sup.3 is an optionally substituted group selected from C.sub.1-6 aliphatic, C.sub.3-10 carbocyclyl, C.sub.6-10 aryl, a heteroaryl ring having 5-10 ring atoms, or a heterocyclyl ring having 5-10 ring atoms; and R.sup.1, R.sup.2, and R.sup.' are as described in the specification.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



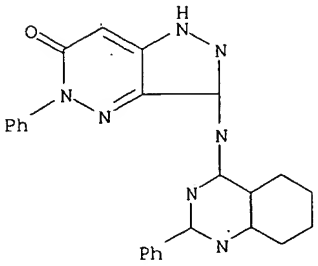
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

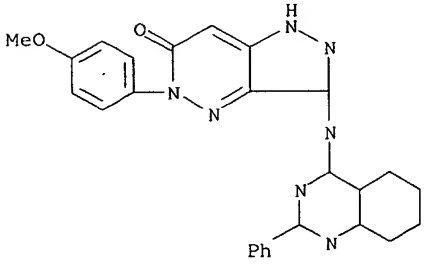
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

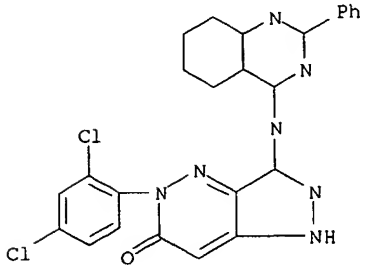
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

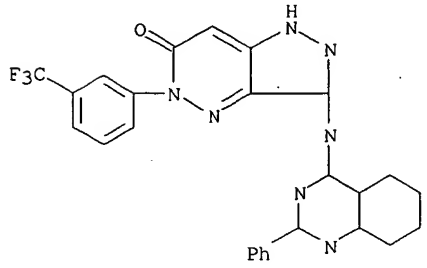
RN 404829-19-2 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



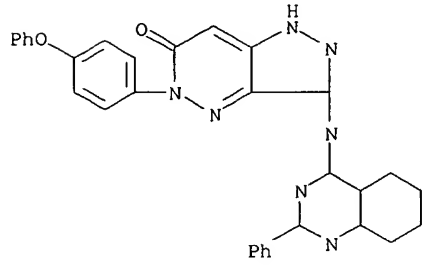
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



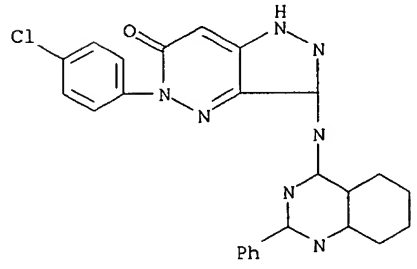
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 20 OF 40 USPATFULL on STN
AN 2003:51585 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Miller, Andrew, Didcot, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
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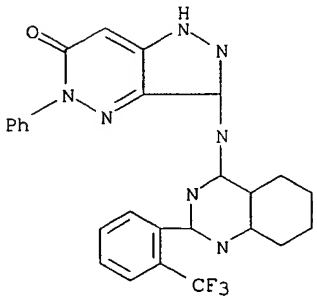
US-----6664247 B2 20031216
AI 2001US-000025164 A1 20011219 (10) <--

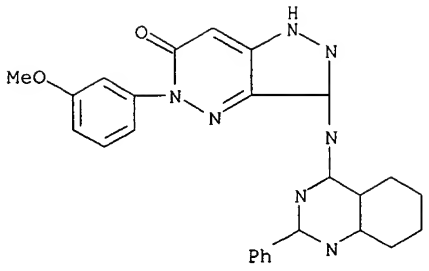
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP Tina Powers, VERTEX PHARMACEUTICALS INC., 130 Waverly Street, Cambridge, MA, 02139-4242
CLMN Number of Claims: 28
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8794
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IIIa: ##STR1##

Wherein R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.X, R.sup.y, R.sup.2, and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



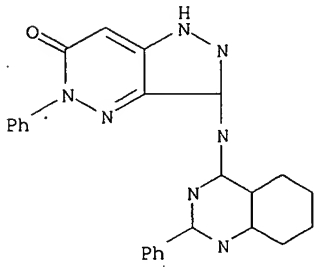
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

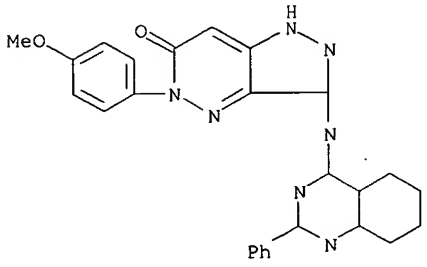
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

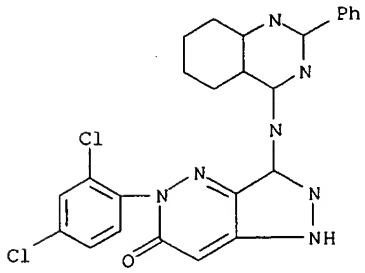
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

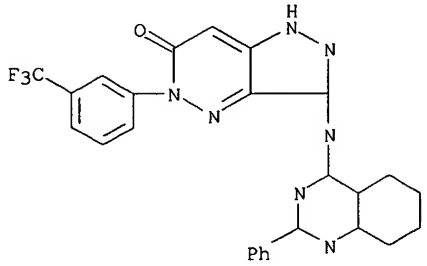
RN 404829-19-2 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



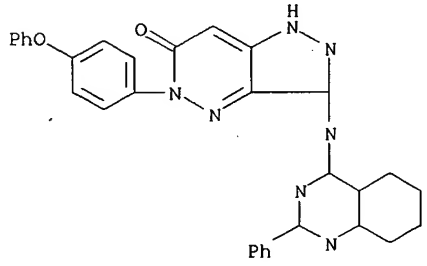
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-(3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



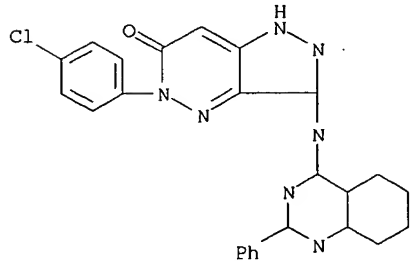
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



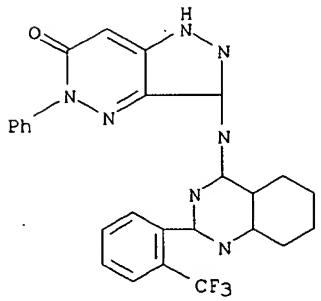
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 21 OF 40 USPATFULL on STN
AN 2003:30936 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Pierard, Francoise, Drayton, UNITED KINGDOM
PI US-20030022885 A1 20030130 <--
US-----6727251 B2 20040427
AI 2001US-000034019 A1 20011220 (10) <--
PRAI 2000US-000257887P 20001221 (60) <--

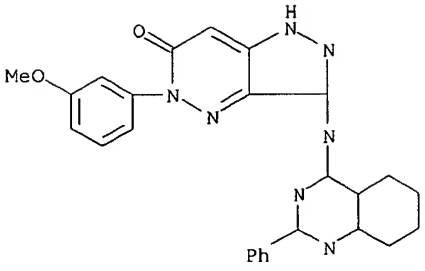
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP Tina Powers, VERTEX PHARMACEUTICALS INC., 130 Waverly Street, Cambridge, MA, 02139-4242
CLMN Number of Claims: 31
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2271
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula II:
##STR1##

wherein Z.sup.1 is nitrogen or CR.sup.8; Q is --S--, --O--, --N(R.sup.4)--, or --CH(R.sup.6)--; R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; and R.sup.y, R.sup.2, and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



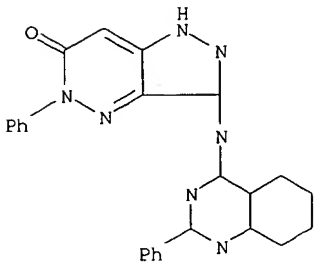
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

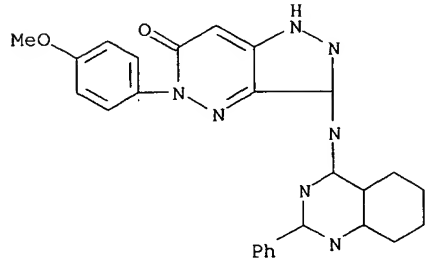
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

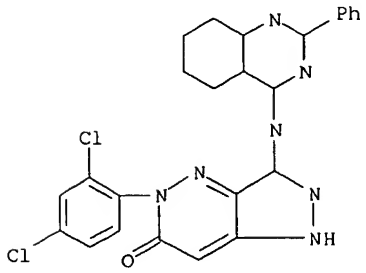
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

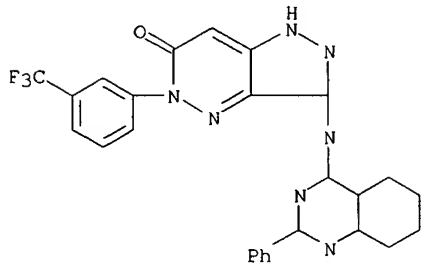
RN 404829-19-2 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



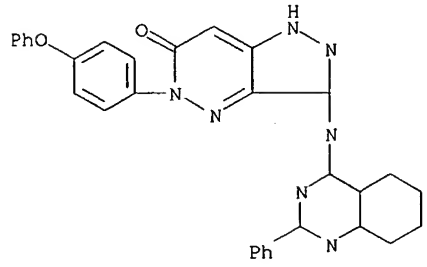
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



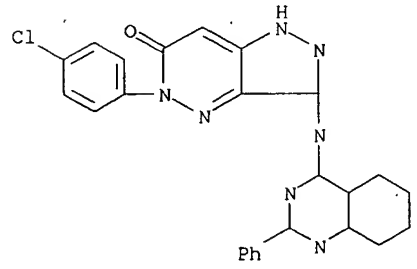
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



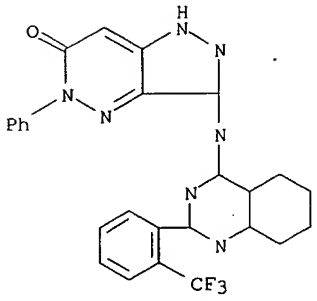
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 22 OF 40 USPATFULL on STN
AN 2003:4125 USPATFULL
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
PI US-20030004164 A1 20030102 <--
US-----6656939 B2 20031202
AI 2001US-000034683 A1 20011220 (10) <--
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility

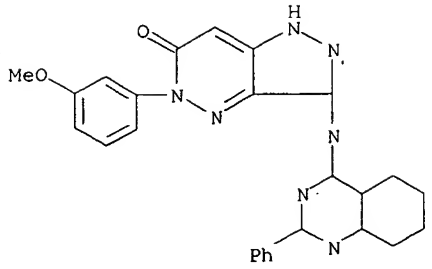
FS APPLICATION
LREP Tina Powers, VERTEX PHARMACEUTICALS INC., 130 Waverly Street, Cambridge, MA, 02139-4242
CLMN Number of Claims: 26
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2215
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula III:
##STR1##

wherein Z.sup.1, Z.sup.2, and Z.sup.3 are as described in the specification; Q is --S--, --O--, --N(R.sup.4)--, or --CH(R.sup.6)--; R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



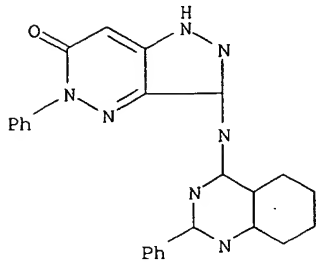
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

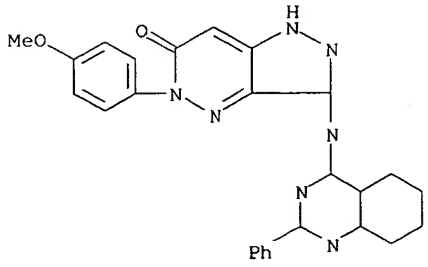
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

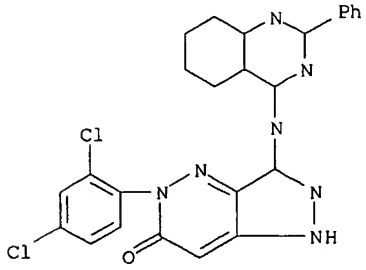
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

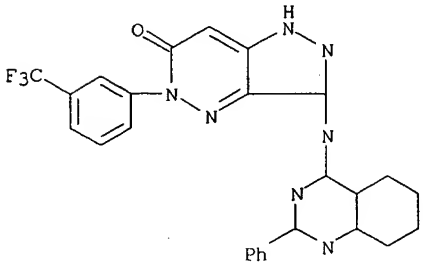
RN 404829-19-2 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



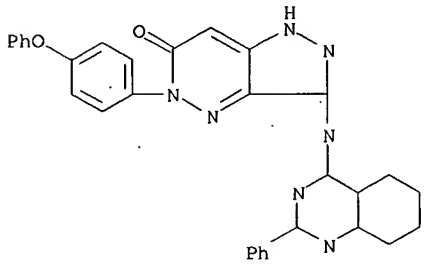
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



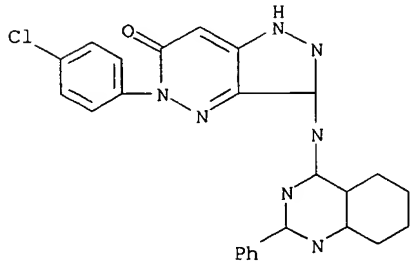
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



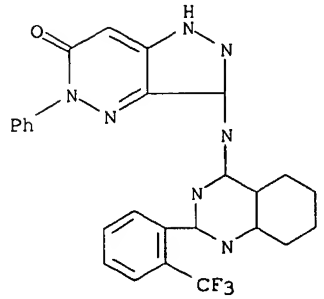
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 23 OF 40 USPATFULL on STN
AN 2003:4122 USPATFULL
TI Pyrazole compounds useful as protein kinase inhititors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Green, Jeremy, Burlington, MA, UNITED STATES
Kay, David, Wiltshire, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
Miller, Andrew, Upton Didcot, UNITED KINGDOM
Tomlison, Ronald, Marlborough, MA, UNITED STATES

Li, Pan, Arlington, MA, UNITED STATES
PI US-20030004161 A1 20030102 <--
US-----6653300 B2 20031125
AI 2001US-000026975 A1 20011219 (10) <--
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS APPLICATION
LREP VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02130-4646
CLMN Number of Claims: 43
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 9244
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula I':
##STR1##

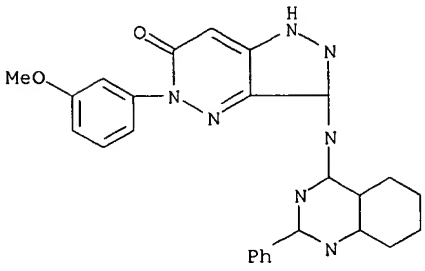
wherein Q' is --O--, --C(R.sup.6').sub.2--, 1,2-cyclopropanediyl, 1,2-cyclobutanediyl, or 1,3-cyclopropanediyl, and R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3 or L-Z-R.sup.3 or R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 heteroatoms; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPATFULL
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPATFULL

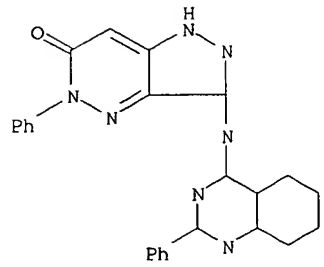
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPATFULL

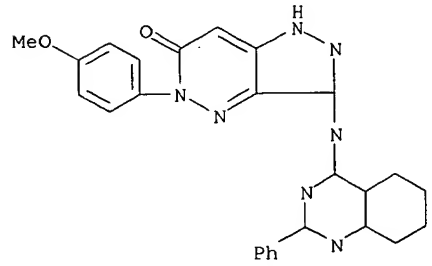
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPATFULL

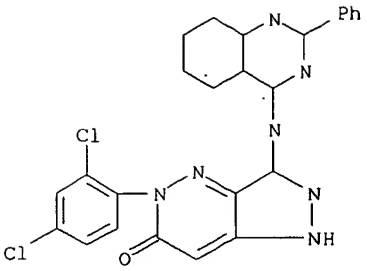
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPATFULL

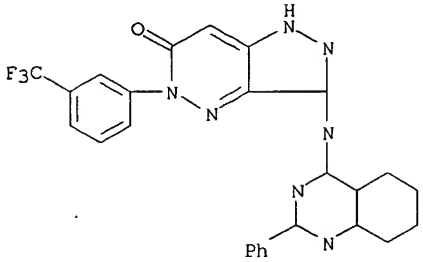
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPATFULL

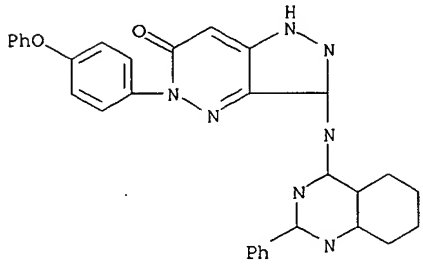
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPATFULL

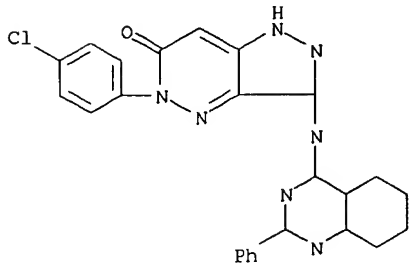
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPATFULL

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)

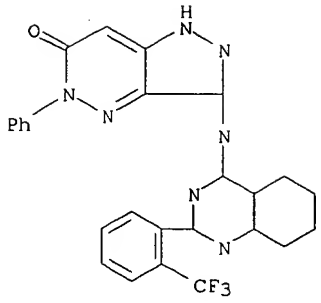


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 24 OF 40 USPAT2 on STN
AN 2005:5004 USPAT2
TI Pyrazolylamine substituted quinazoline compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Binch, Hayley, Harwell, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
Golec, Julian M. C., Swinden, UNITED KINGDOM
Patel, Sanjay, Abingdon, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Kay, David, Purton, UNITED KINGDOM
Davies, Robert J., Arlington, MA, UNITED STATES
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, UNITED STATES (U.S. corporation)
PI US-----7098330 B2 20060829
AI 2001US-000952878 20010914 (9) <--
PRAI 2001US-000286949P 20010427 (60) <--
2000US-000257887P 20001221 (60) <--
2000US-000232795P 20000915 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: McKenzie, Thomas C.
LREP Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 15
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8192
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula III:

##STR1## wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-8 membered carbocyclo ring; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

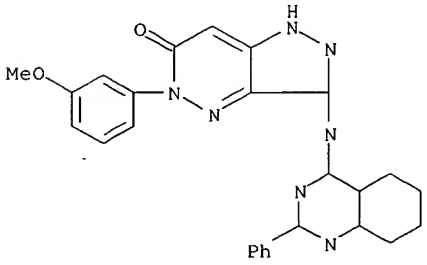
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

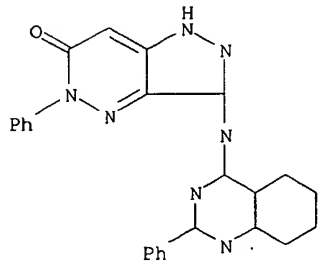
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

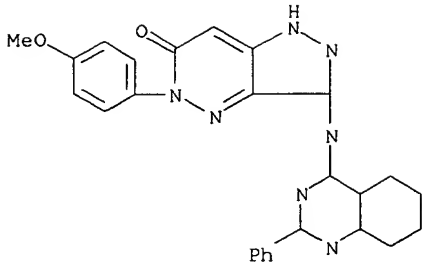
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

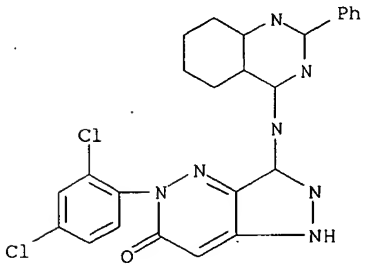
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

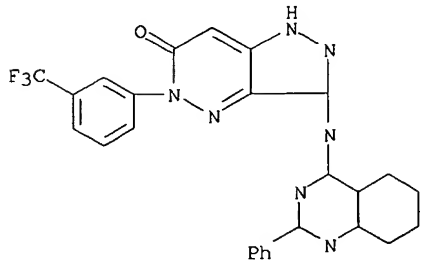
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

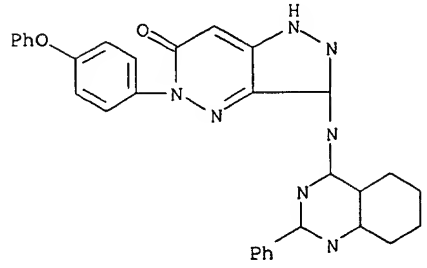
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2

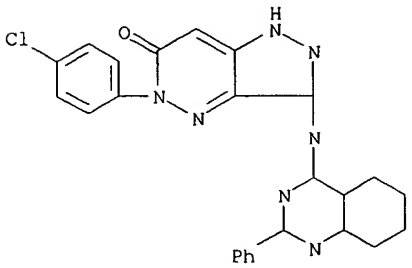
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 25 OF 40 USPAT2 on STN

AN 2004:286776 USPAT2

TI Fused pyrimidyl pyrazole compounds useful as protein kinase inhibitors

IN Bebbington, David, Newbury, UNITED KINGDOM
Binch, Hayley, Harwell, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Patel, Sanjay, Abingdon, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Kay, David, Purton, UNITED KINGDOM
Davies, Robert, Arlington, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
Wannamaker, Marion, Stow, MA, UNITED STATES
Forster, Cornelia, Pelham, NH, UNITED STATES
Pierce, Albert, Somerville, MA, UNITED STATES

PA Vertex Pharmaceuticals, Incorporated, Cambridge, MA, UNITED STATES (U.S. corporation)

PI US-----7008948 B2 20060307

AI 2003US-000624800 20030722 (10)

RLI Division of Ser. No. 2001US-000952671, filed on 14 Sep 2001, Pat. No. US-----6660731

PRAI 2001US-000286949P 20010427 (60) <--
2000US-000257887P 20001221 (60) <--
2000US-000232795P 20000915 (60) <--

DT Utility

FS GRANTED

EXNAM Primary Examiner: McKenzie, Thomas C.

LREP Dixon, Lisa A.

CLMN Number of Claims: 16

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 8282

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

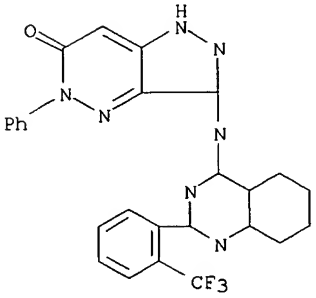
AB This invention describes novel pyrazole compounds of formula IV: ##STR1## wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3, or taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-8 membered ring having 1-3 ring heteroatoms selected from oxygen, sulfur, or nitrogen; and R.sup.2, R.sup.2', T, and R.sup.3 are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine
404829-16-9P, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
404829-17-0P, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-

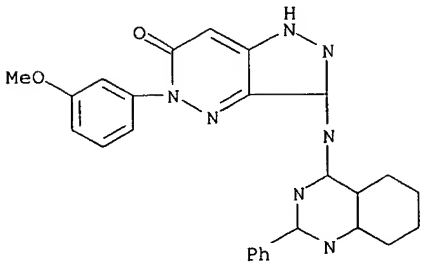
c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**,
[5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**,
[5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**,
[6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**,
[6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**,
[5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



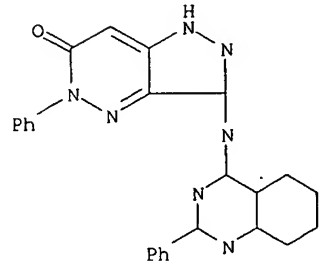
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

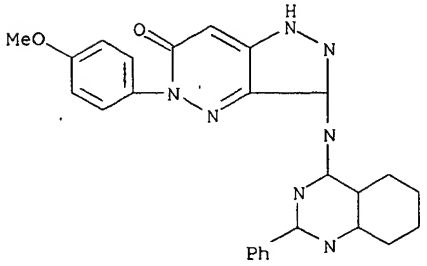
RN 404829-17-0 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

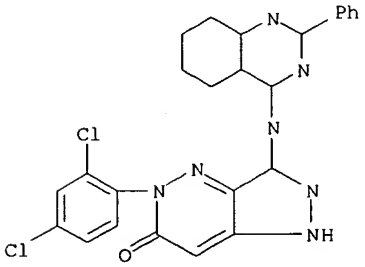
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

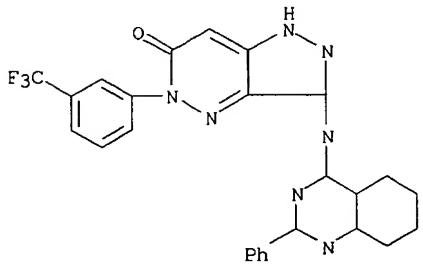
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

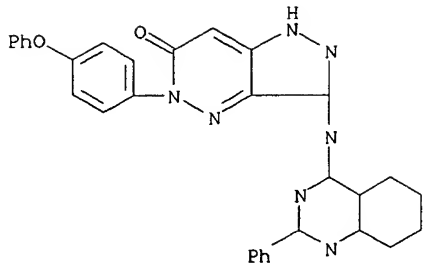
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

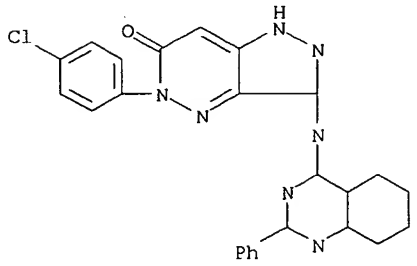
RN 404829-22-7 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

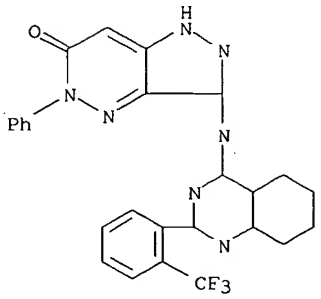
L21 ANSWER 26 OF 40 USPAT2 on STN
AN 2004:216032 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Pierard, Fran.cedilla.oise, Drayton, UNITED KINGDOM
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, UNITED STATES (U.S. corporation)
PI US-----7427681 B2 20080923
AI 2004US-000775699 20040210 (10)
RLI Division of Ser. No. 2001US-000034019, filed on 20 Dec 2001, Pat. No. US-----6727251
PRAI 2001US-000286949P 20010427 (60) <--
2000US-000257887P 20001221 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Wilson, James O.; Assistant Examiner: Truong, Tamthom N
LREP Chung, H. Joon
CLMN Number of Claims: 10
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2405
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula II:

##STR1## wherein Z.sup.1 is nitrogen or CR.sup.8; Q is --S--, --O--, --N(R.sup.4)--, or --CH(R.sup.6)--; R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; and R.sup.y, R.sup.2, and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-

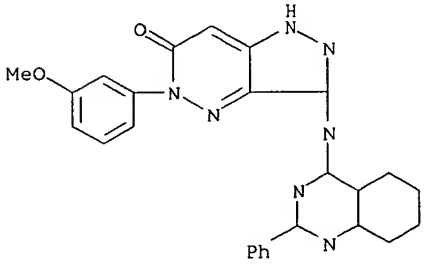
c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



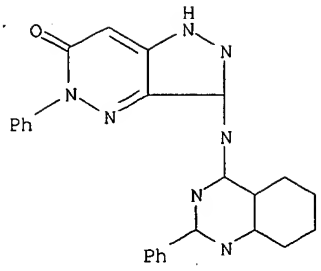
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

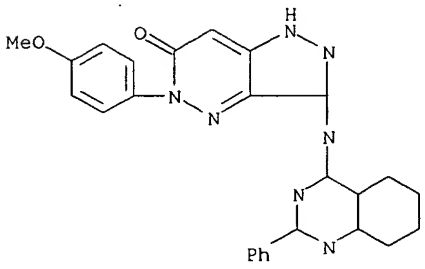
RN 404829-17-0 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

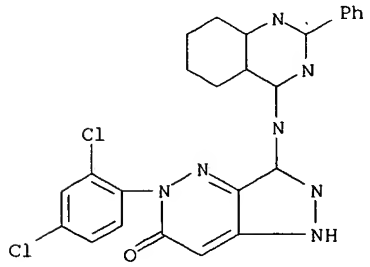
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

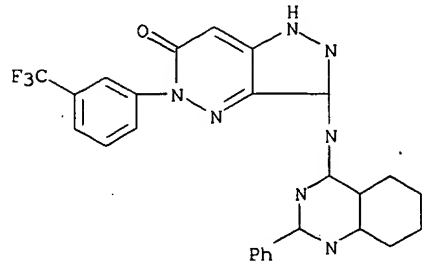
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

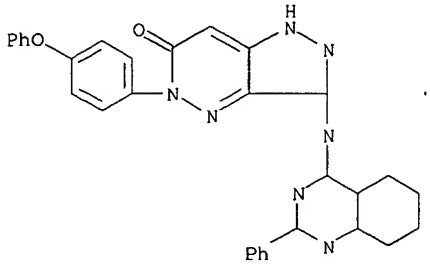
RN 404829-21-6 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



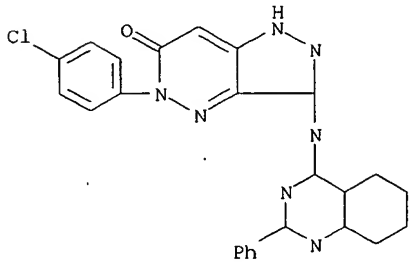
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 27 OF 40 USPAT2 on STN
AN 2004:172617 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, UNITED STATES (U.S. corporation)
PI US-----7087603 B2 20060808
AI 2003US-000736426 20031215 (10)
RLI Continuation of Ser. No. 2001US-000026966, filed on 19 Dec 2001, ABANDONED
PRAI 2001US-000286949P 20010427 (60) <--
2000US-000257887P 20001221 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Habte, Kahsay
LREP Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 14
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8415
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IV:

##STR1## wherein Z.sup.1 or Z.sup.2 is nitrogen, Q is --S--, --O--, --N(R.sup.4)--, --C(R.sup.6').sub.2--, 1,2-cyclopropanediyl, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl, and R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T--R.sup.3 or L--Z--R.sup.3, or R.sup.x and R.sup.y are taken together

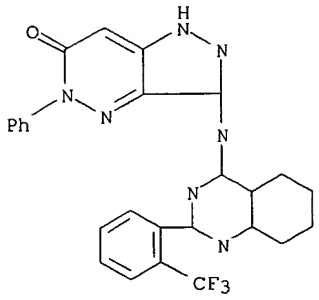
with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 heteroatoms; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2

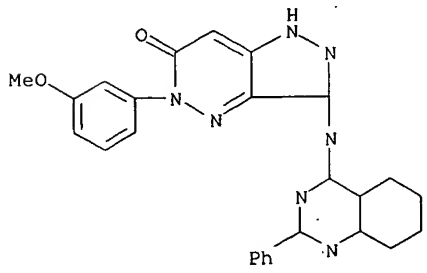
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

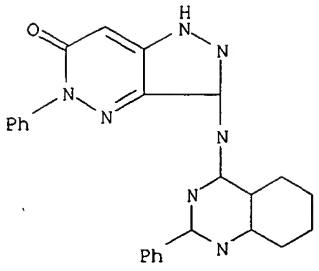
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

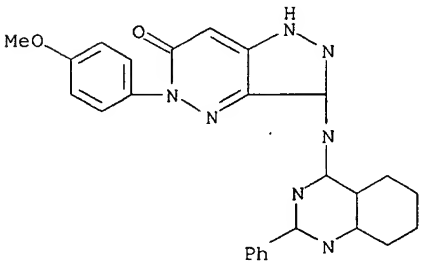
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

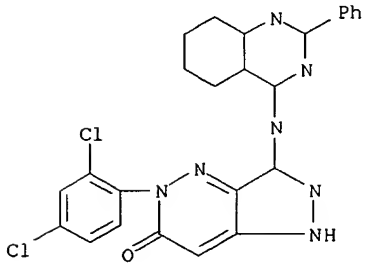
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

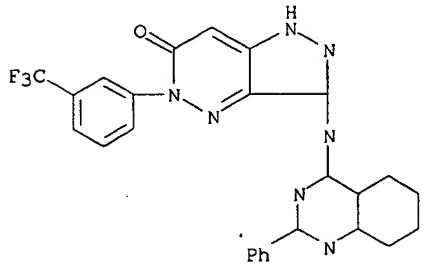
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



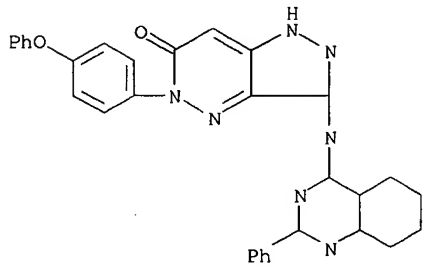
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

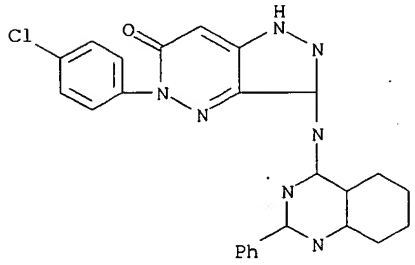
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-22-7 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 28 OF 40 USPAT2 on STN
AN 2004:152232 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Davies, Robert, Arlington, MA, UNITED STATES
Bebbington, David, Newbury, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Wannamaker, Marion, Stow, MA, UNITED STATES
Li, Pan, Arlington, MA, UNITED STATES
Forster, Cornelia, Pelham, NH, UNITED STATES
Pierce, Albert, Somerville, MA, UNITED STATES
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, UNITED STATES (U.S. corporation)
PI US-----7390815 B2 20080624
AI 2003US-000692355 20031023 (10)
RLI Division of Ser. No. 2001US-000955601, filed on 14 Sep 2001, Pat. No. US-----6696452
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Habte, Kahsay
LREP Che, Jennifer G.
CLMN Number of Claims: 17
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8330
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula II:

##STR1## wherein Ring C is selected from a phenyl, pyridinyl,

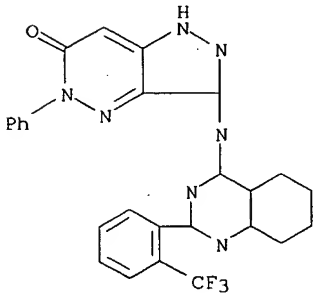
pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, and R.sup.2, R.sup.2', R.sup.x, and R.sup.y are as described in the specification. Ring C has an ortho substituent and is optionally substituted in the non-ortho positions. R.sup.2 and R.sup.2' are optionally taken together with their intervening atoms to form a fused ring system, such as an indazole ring; and R.sup.x and R.sup.y are optionally taken together with their intervening atoms to form a fused ring system, such as a quinazoline ring. The compounds are useful as protein kinase inhibitors, especially as inhibitors of GSK-3, for treating diseases such as diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2

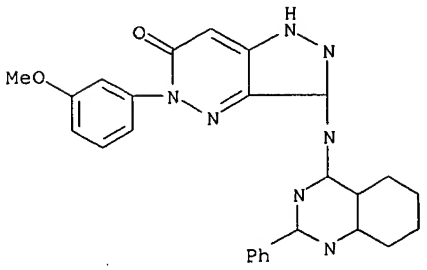
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

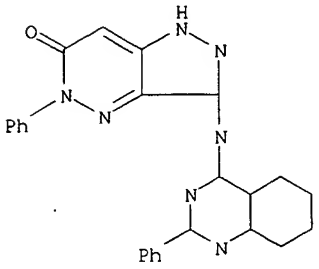
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

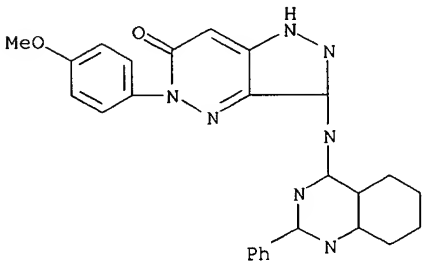
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

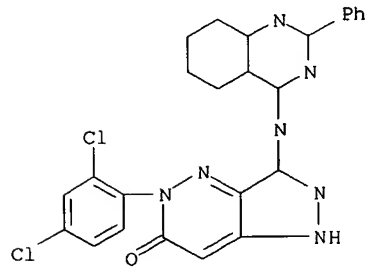
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

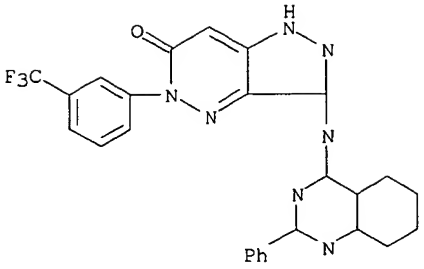
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

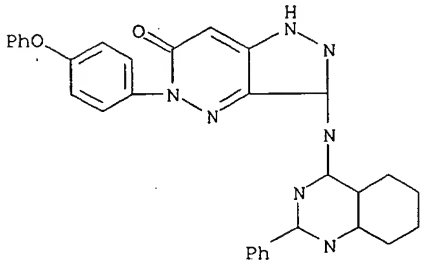
RN 404829-21-6 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



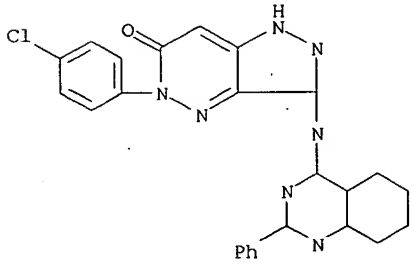
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



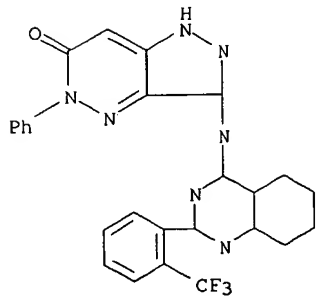
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 29 OF 40 USPAT2 on STN
AN 2004:127517 USPAT2
TI Triazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Binch, Hayley, Harwell, UNITED KINGDOM
Golec, Julian M. C., Faringdon, UNITED KINGDOM
Li, Pan, Arlington, MA, UNITED STATES
Charier, Jean-Damien, Wantage, UNITED KINGDOM
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, UNITED STATES (U.S. corporation)
PI US-----7115739 B2 20061003
AI 2001US-000953471 20010914 (9) <--
PRAI 2001US-000286949P 20010427 (60) <--
2000US-000257887P 20001221 (60) <--
2000US-000232795P 20000915 (60) <--
DT Utility
FS GRANTED

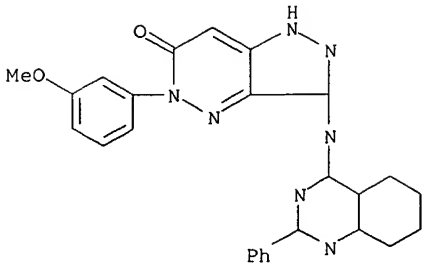
EXNAM Primary Examiner: McKenzie, Thomas C.
LREP Dixon, Lisa A., Che, Jennifer G., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 18
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 8169
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel triazole compounds of formula IX:

##STR1## wherein Z.sup.1 is nitrogen or CR.sup.9 and Z.sup.2 is nitrogen or CH, provided that at least one of Z.sup.1 and Z.sup.2 is nitrogen; G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T-R.sup.3, or R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused ring; R.sup.1, R.sup.3, and T are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of GSK-3 and Aurora, for treating diseases such as diabetes, cancer, and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



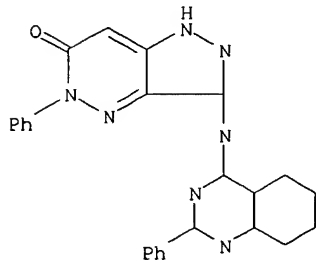
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-16-9 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

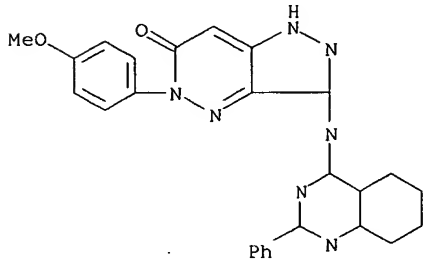
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

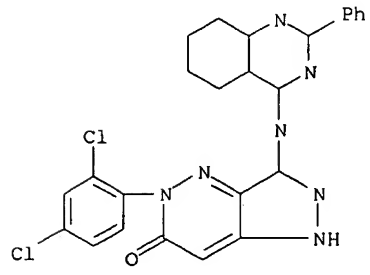
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

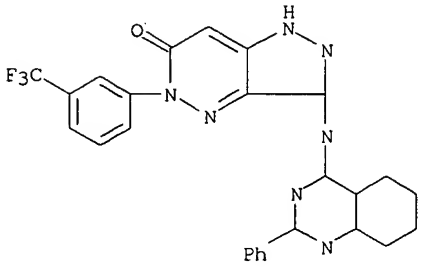
RN 404829-19-2 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



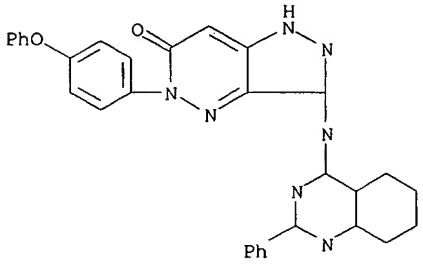
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



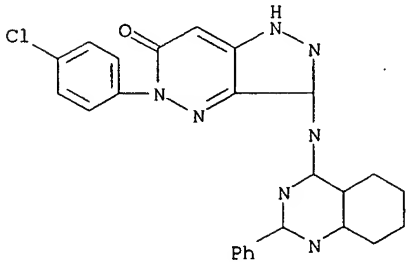
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



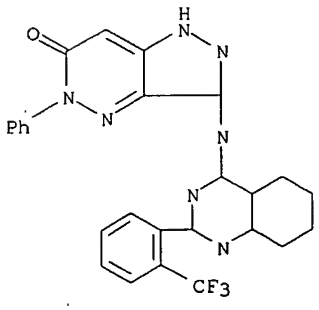
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 30 OF 40 USPAT2 on STN
AN 2003:120843 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Davies, Robert, Arlington, MA, United States
Li, Pan, Arlington, MA, United States
Golec, Julian M. C., Swindon, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Knegtel, Ronald, Abingdom Oxon, UNITED KINGDOM
Bebbington, David, Newbury, UNITED KINGDOM
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)

PI US-----6610677 B2 20030826
AI 2001US-000952833 20010914 (9) <--
PRAI 2001US-000286949P 20010427 (60) <--
2000US-000257887P 20001221 (60) <--
2000US-000232795P 20000915 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: McKenzie, Thomas C
LREP Robidoux, Andrea L. C., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 16
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 8363
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compositions comprising a pharmaceutically acceptable carrier and a compound of formula VIII: ##STR1##

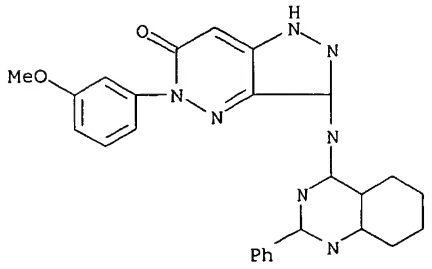
wherein Z.sup.1 is N or C--R.sup.9, Z.sup.2 is N or CH, and Z.sup.3 is N or C--R.sup.x, provided that one of Z.sup.1 and Z.sup.3 is nitrogen; G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; and R.sup.x, R.sup.1, R.sup.2, R.sup.2', R.sup.3, and R.sup.9 are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)

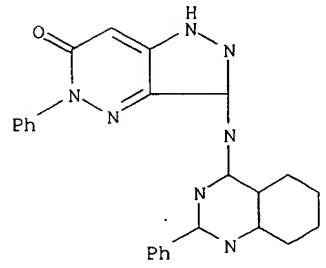


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

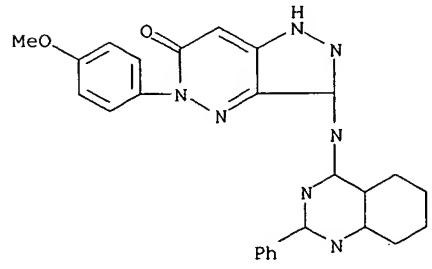
RN 404829-16-9 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



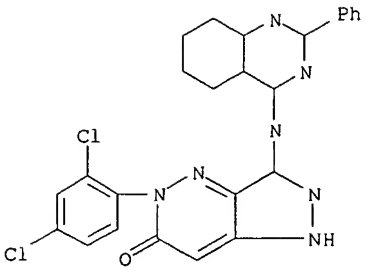
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-17-0 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-18-1 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



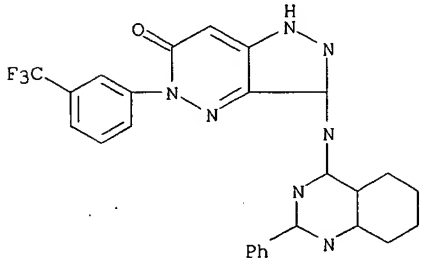
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-19-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

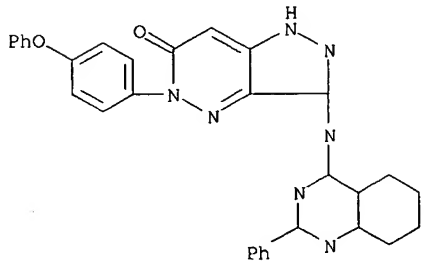
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2

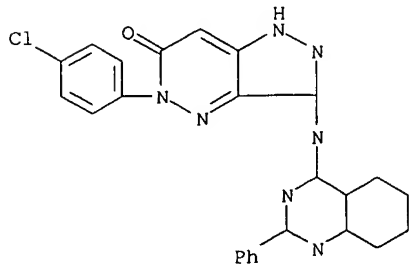
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)

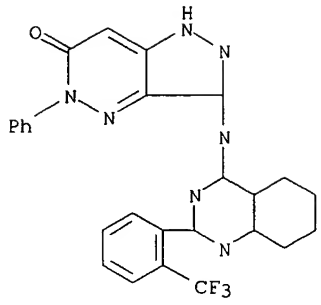


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 31 OF 40 USPAT2 on STN
AN 2003:113534 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Davies, Robert, Arlington, MA, United States
Golec, Julian M. C., Swindon, UNITED KINGDOM
Kay, David, Purton, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
Patel, Sanjay, Abingdon, UNITED KINGDOM
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US-----6653301 B2 20031125
AI 2001US-000027001 20011219 (10) <--
PRAI 2001US-000286949P 20010427 (60) <--
2000US-000257887P 20001221 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Patel, Sudhaker B.
LREP Robidoux, Andrea L. C., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 26
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 8765
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IIa:
##STR1##

wherein R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 heteroatoms; and R.sup.2 and R.sup.2 are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

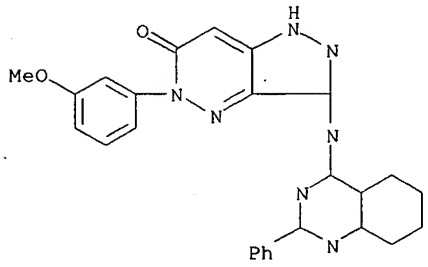
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

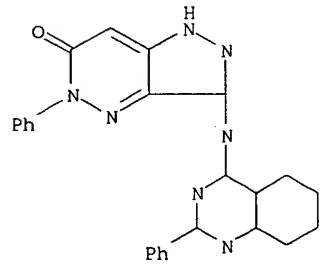
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

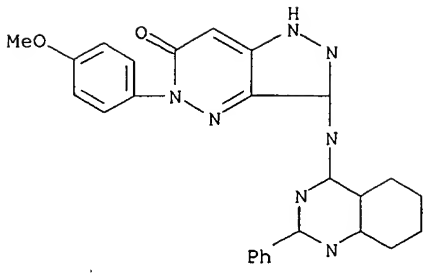
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

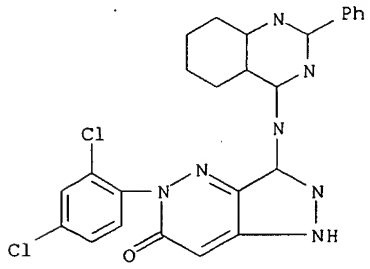
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

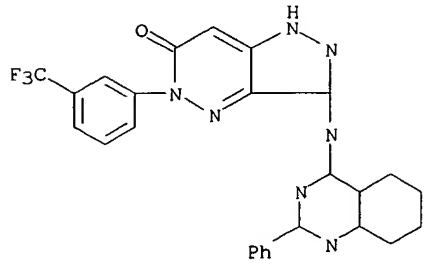
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

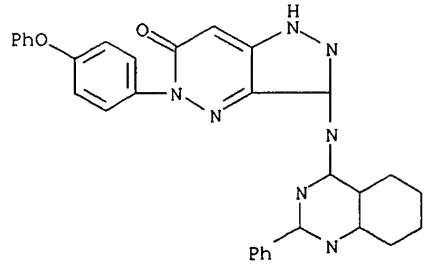
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

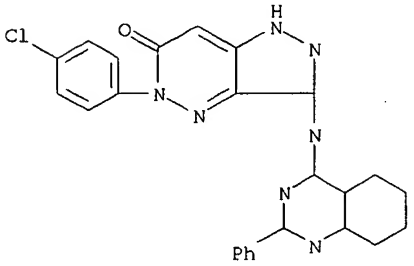
RN 404829-22-7 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

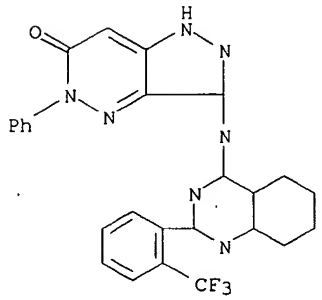
L21 ANSWER 32 OF 40 USPAT2 on STN
AN 2003:113425 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Davies, Robert, Arlington, MA, United States
Bebbington, David, Newbury, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Wannamaker, Marion, Stow, MA, United States
Li, Pan, Arlington, MA, United States
Forster, Cornelia, Pelham, NH, United States
Pierce, Albert, Somerville, MA, United States
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US-----6696452 B2 20040224
AI 2001US-000955601 20010914 (9) <--
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Berch, Mark L.; Assistant Examiner: Habte, Kahsay
LREP Robidoux, Andrea L.C., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 21
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 8476
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula II:
##STR1##

wherein Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, and R.sup.2, R.sup.2', R.sup.x, and R.sup.y are as described in the specification. Ring C has an ortho substituent and is optionally substituted in the non-ortho positions. R.sup.2 and R.sup.2' are optionally taken together with their intervening atoms to form a fused ring system, such as an indazole ring; and R.sup.x and R.sup.y are optionally taken together with their intervening atoms to form a fused ring system, such as a quinazoline ring. The compounds are useful as protein kinase inhibitors, especially as inhibitors of GSK-3, for treating diseases such as diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-

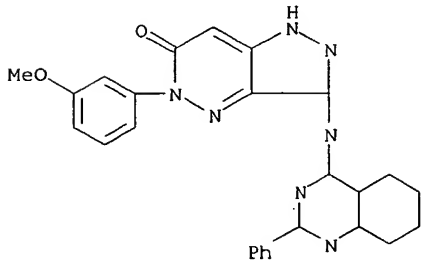
yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**,
[6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**,
[6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**,
[5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



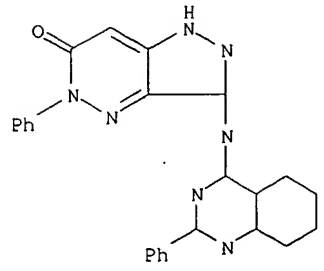
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

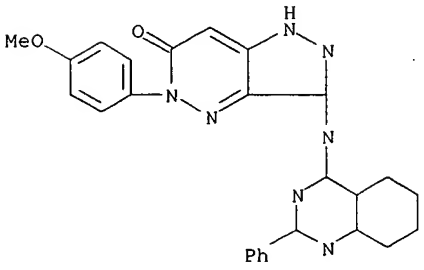
RN 404829-17-0 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,

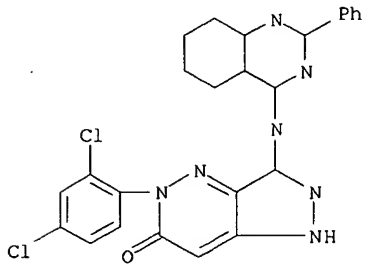
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

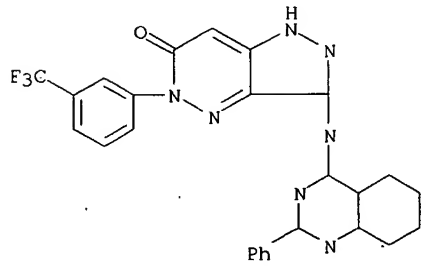
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

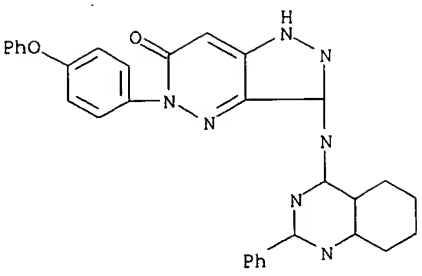
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

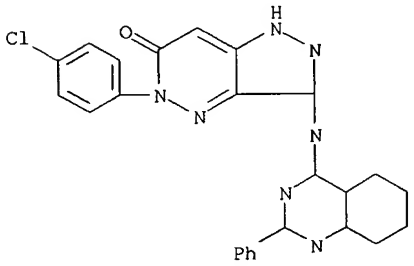
RN 404829-22-7 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 33 OF 40 USPAT2 on STN
AN 2003:106775 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury Berkshire, UNITED KINGDOM
Binch, Hayley, Harwell, UNITED KINGDOM
Knegtel, Ronald, Abingdom, UNITED KINGDOM
Golec, Julian, Swinden, UNITED KINGDOM
Patel, Sanjay, Abingdom, UNITED KINGDOM
Charrier, Jean- Damien, Southam, UNITED KINGDOM
Kay, David, 4 Church Path, UNITED KINGDOM
Davies, Robert, Arlington, MA, United States
Li, Pan, Arlington, MA, United States
Wannamaker, Marion, Stow, MA, United States
Forster, Cornelia, Pelham, NH, United States
Pierce, Albert, Somerville, MA, United States
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US-----6660731 B2 20031209
AI 2001US-000952671 20010914 (9) <--
PRAI 2001US-000286949P 20010427 (60) <--
2000US-000257887P 20001221 (60) <--
2000US-000232795P 20000915 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: McKenzie, Thomas C
LREP Robidoux, Andrea L. C., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 15
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 8222
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IV: ##STR1##

wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from

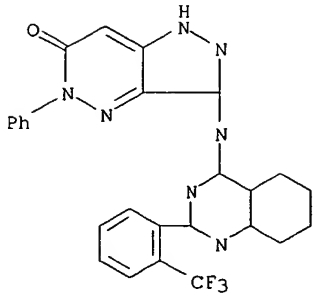
T-R.sup.3, or taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-8 membered ring having 1-3 ring heteroatoms selected from oxygen, sulfur, or nitrogen; and R.sup.2, R.sup.2, T, and R.sup.3 are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2

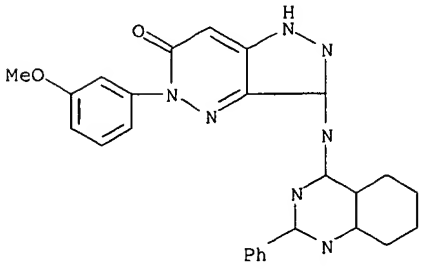
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

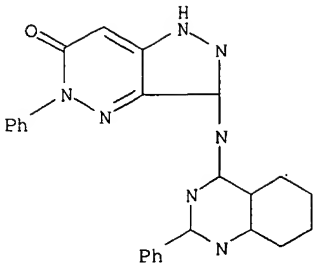
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

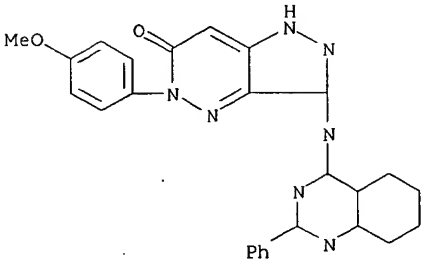
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

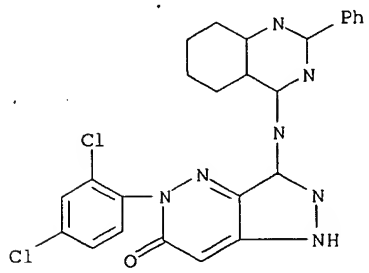
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

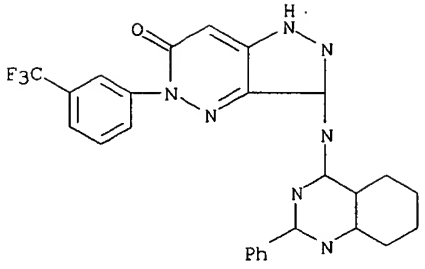
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

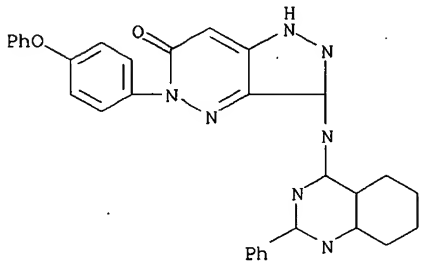
RN 404829-21-6 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



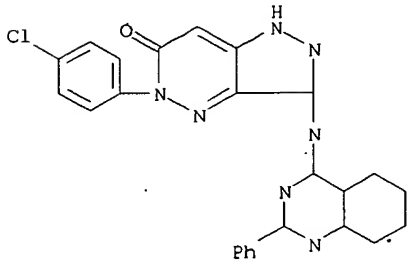
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



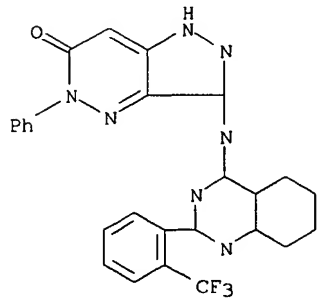
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 34 OF 40 USPAT2 on STN
AN 2003:93620 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Knegtel, Ronald, Abingdom, UNITED KINGDOM
Bebbington, David, Newbury Berkshire, UNITED KINGDOM
Binch, Hayley, Harwell, UNITED KINGDOM
Golec, Julian, Swinden, UNITED KINGDOM
Patel, Sanjay, Abingdom, UNITED KINGDOM
Charrier, Jean-Damien, Bishop's Itchington, UNITED KINGDOM
Kay, David, Purton Wiltshire, UNITED KINGDOM
Davies, Robert, Arlington, MA, United States
Li, Pan, Arlington, MA, United States
Wannamaker, Marion, Stow, MA, United States
Forster, Cornelia, Pelham, NH, United States
Pierce, Albert, Somerville, MA, United States
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US-----6613776 B2 20030902

AI 2001US-000952836 20010914 (9) <--
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: Balasubramanian, Venkataraman
LREP Shair, Karoline K.M., Robidoux, Andrea L. C., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 28
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 8825
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compositions comprising a pharmaceutically acceptable carrier and a compound of formula V: ##STR1##

wherein Z.sup.1 is N, CR.sup.a, or CH, and Z.sup.2 is N or CH, provided one of Z.sup.1 and Z.sup.2 is nitrogen; G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T--R.sup.3, or R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused ring; and R.sup.1, R.sup.2, R.sup.2', R.sup.3, and T are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

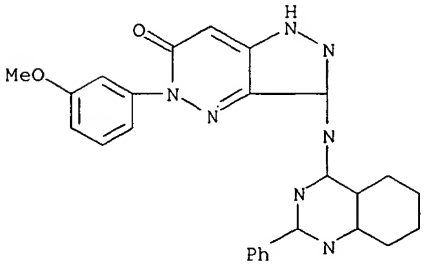
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

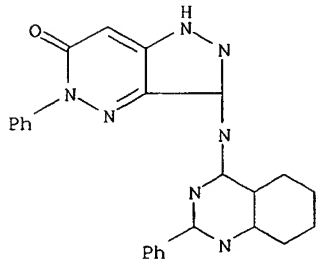
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

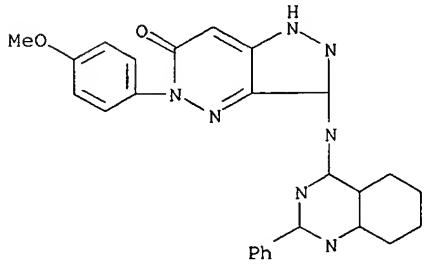
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

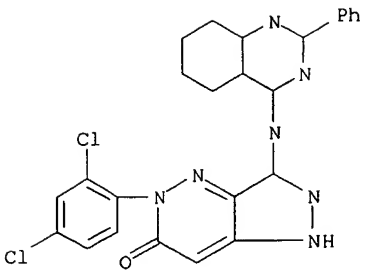
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

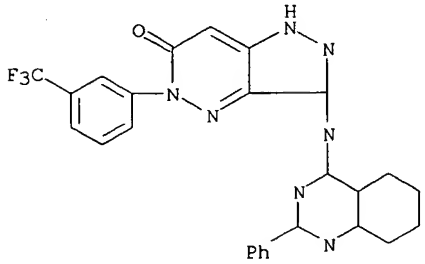
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

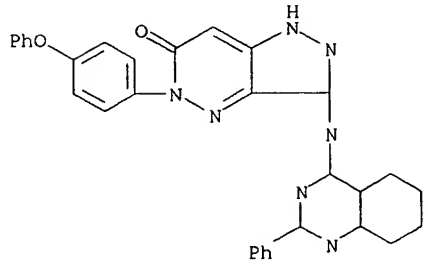
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2

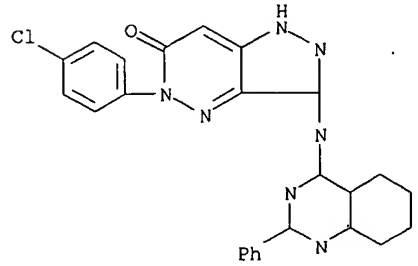
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)

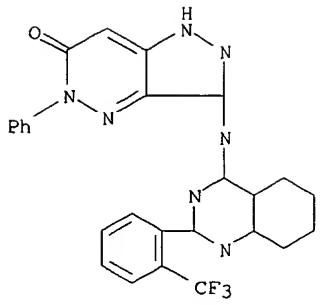


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 35 OF 40 USPAT2 on STN
AN 2003:79141 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Davies, Robert, Arlington, MA, UNITED STATES
Everitt, Simon, Beaconsfield, UNITED KINGDOM
Kay, David, Purton, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
Patel, Sanjay, Abingdon, UNITED KINGDOM
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, UNITED STATES (U.S. corporation)
PI US-----6989385 B2 20060124
AI 2001US-000026967 20011219 (10) <--
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Rao, Deepak
LREP Dixon, Lisa A.
CLMN Number of Claims: 31
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 8598
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula IIc:
##STR1##

wherein R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 heteroatoms; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

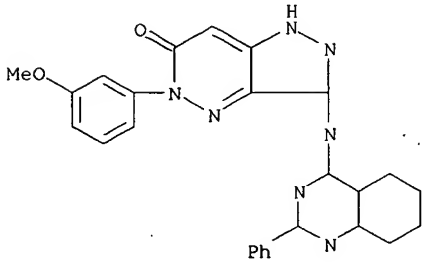
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)
RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

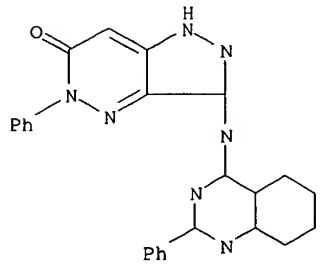
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

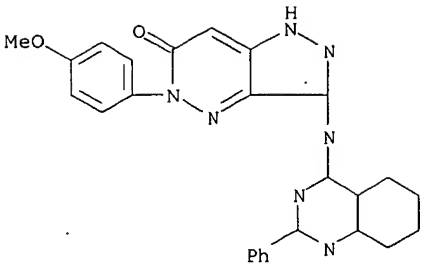
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

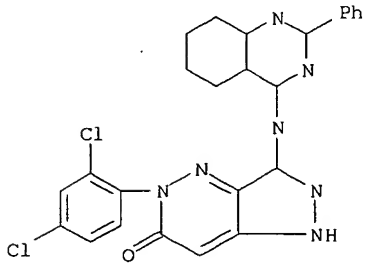
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

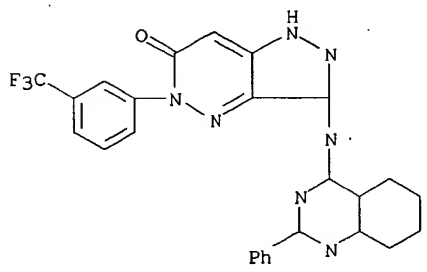
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

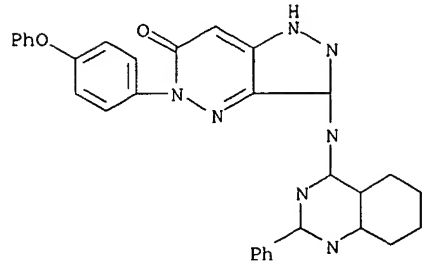
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



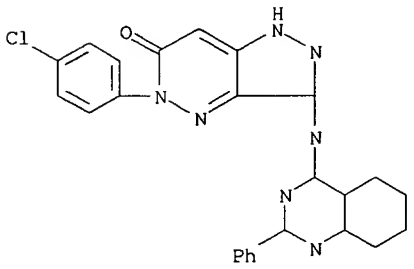
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

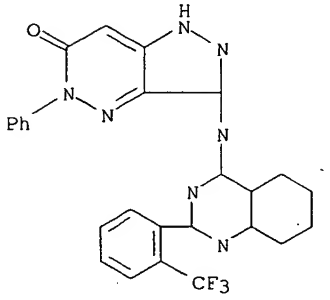
L21 ANSWER 36 OF 40 USPAT2 on STN
AN 2003:79117 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Davies, Robert J., Arlington, MA, United States
Li, Pan, Arlington, MA, United States
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Bebbington, David, Newbury, UNITED KINGDOM
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US-----6638926 B2 20031028
AI 2001US-000953505 20010914 (9) <--
PRAI 2000US-000232795P 20000915 (60) <--
2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Berch, Mark L.; Assistant Examiner: Habte, Kahsay
LREP Robidoux, Andrea L. C., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 27
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 8654
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention provides novel pyrazole compounds that are useful as protein kinase inhibitors, especially as inhibitors of aurora-2 and GSK-3. The compounds may be used to treat abnormal physiological function leading to diseases such as cancer, diabetes and Alzheimer's disease. The compounds are represented by formula VI: ##STR1##

wherein G is Ring C or Ring D; Ring C is selected from a phenyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl ring, wherein said Ring C has one or two ortho substituents independently selected from --R.sup.1; Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.y is T--R.sup.3'; T is a valence bond or a C.sub.1-4 alkylidene chain; R.sup.3' is an optionally substituted group selected from C.sub.1-6 aliphatic, C.sub.3-10 carbocyclyl, C.sub.6-10 aryl, a heteroaryl ring having 5-10 ring atoms, or a heterocyclyl ring having 5-10 ring atoms; and R.sup.1, R.sup.2, and R.sup.2' are as described in the specification.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-

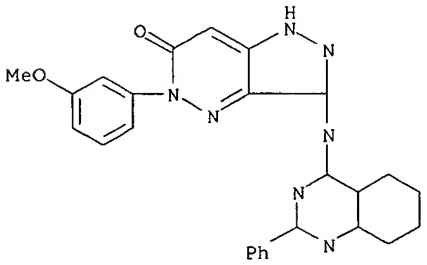
yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**,
[6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**,
[6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**,
[5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



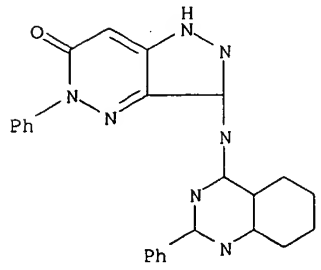
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

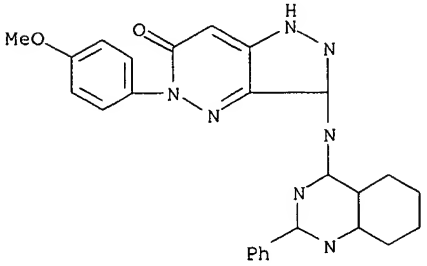
RN 404829-17-0 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,

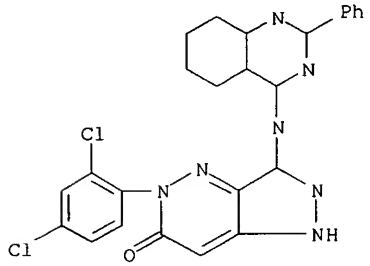
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

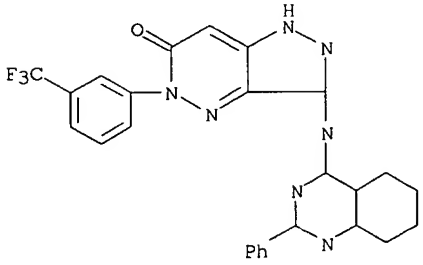
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

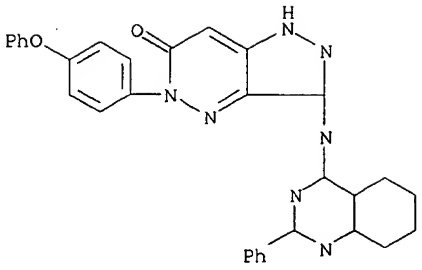
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2

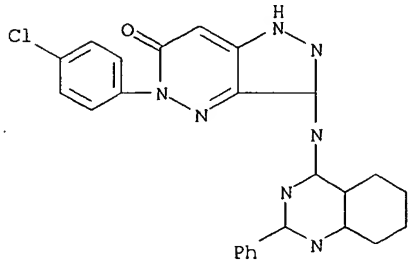
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 37 OF 40 USPAT2 on STN

AN 2003:51585 USPAT2

TI Pyrazole compounds useful as protein kinase inhibitors

IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Miller, Andrew, Didcot, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM

PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)

PI US-----6664247 B2 20031216

AI 2001US-000025164 20011219 (10) <--

PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--

DT Utility

FS GRANTED

EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Patel, Sudhaker B.

LREP Robidoux, Andrea L. C., Vertex Pharmaceuticals Incorporated

CLMN Number of Claims: 23

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 8702

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention describes novel pyrazole compounds of formula IIIa: ##STR1##

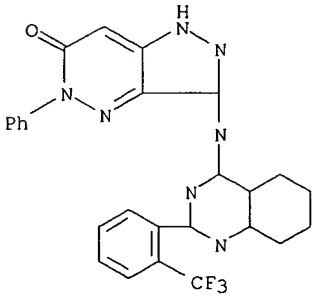
wherein R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x, R.sup.y, R.sup.2, and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine
404829-16-9P, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-

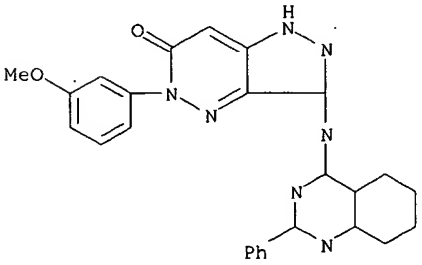
pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



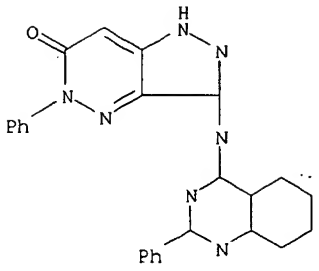
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

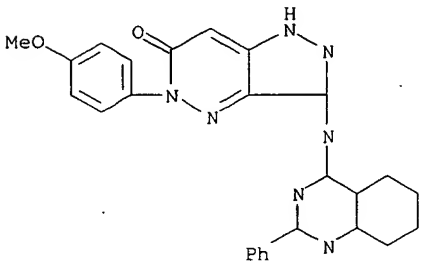
RN 404829-17-0 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

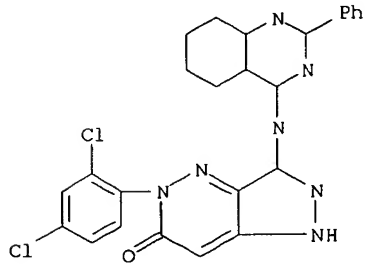
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

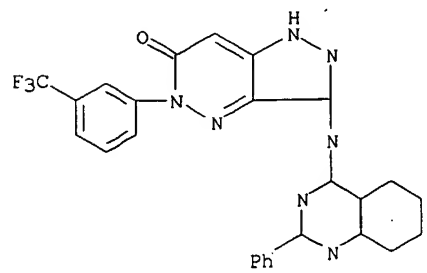
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

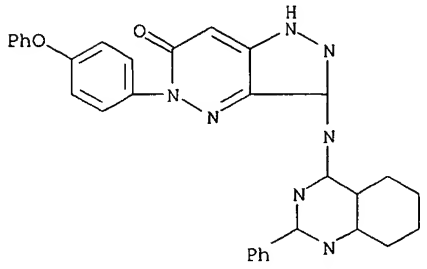
RN 404829-21-6 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



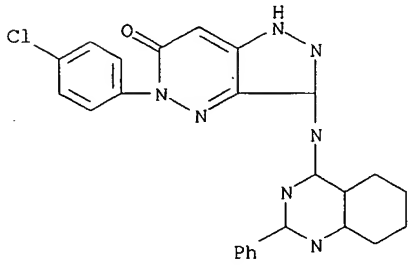
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 38 OF 40 USPAT2 on STN
AN 2003:30936 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Pierard, Francoise, Drayton, UNITED KINGDOM
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US-----6727251 B2 20040427
AI 2001US-000034019 20011220 (10) <--
PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Raymond, Richard L.; Assistant Examiner: Truong, Tamthom N.
LREP Robidoux, Andrea L. C., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 18
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 2107
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula II:
##STR1##

wherein Z.sup.1 is nitrogen or CR.sup.8; Q is --S--, --O--, --N(R.sup.4)--, or --CH(R.sup.6)--; R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; and R.sup.y, R.sup.2, and R.sup.2' are as described in the specification.

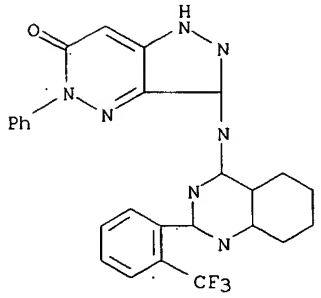
The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2

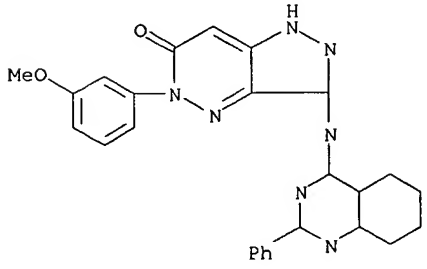
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

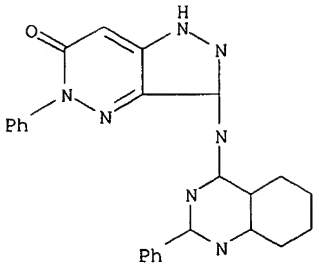
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

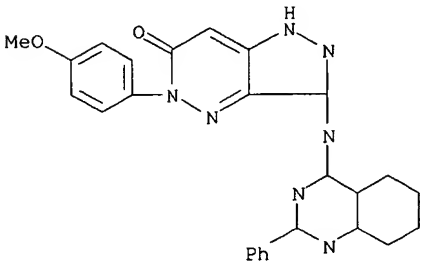
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

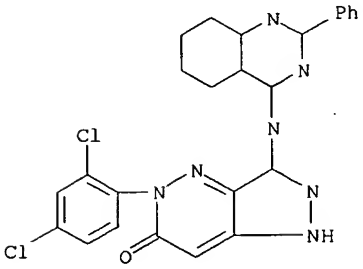
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

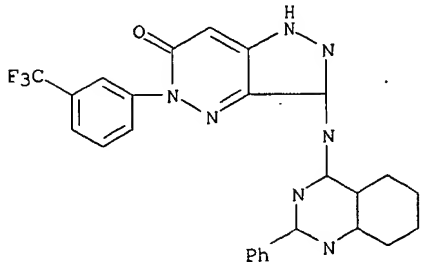
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

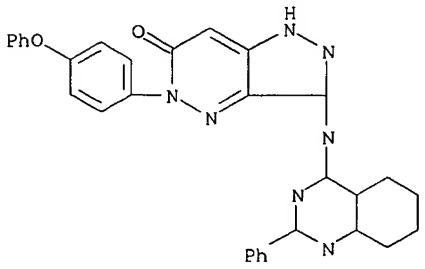
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2

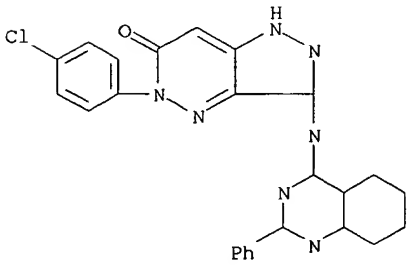
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 39 OF 40 USPAT2 on STN

AN 2003:4125 USPAT2

TI Pyrazole compounds useful as protein kinase inhibitors

IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM

PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)

PI US-----6656939 B2 20031202

AI 2001US-000034683 20011220 (10) <--

PRAI 2000US-000257887P 20001221 (60) <--
2001US-000286949P 20010427 (60) <--

DT Utility

FS GRANTED

EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Balasubramanian, Vankataraman

LREP Shair, Karoline K.M., Vertex Pharmaceuticals Incorporated

CLMN Number of Claims: 23

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 2110

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention describes novel pyrazole compounds of formula III: ##STR1##

wherein Z.sup.1, Z.sup.2, and Z.sup.3 are as described in the specification; Q is --S--, --O--, --N(R.sup.4)--, or --CH(R.sup.6)--; R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases

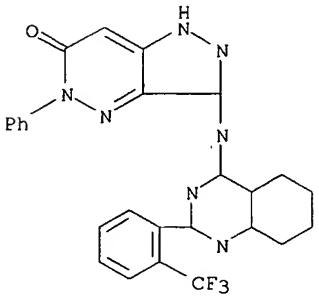
such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2

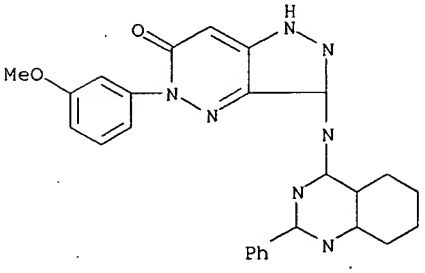
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

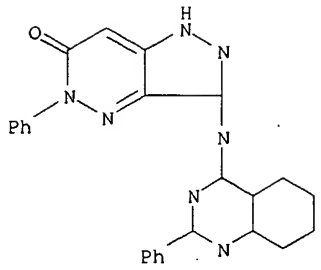
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-17-0 USPAT2

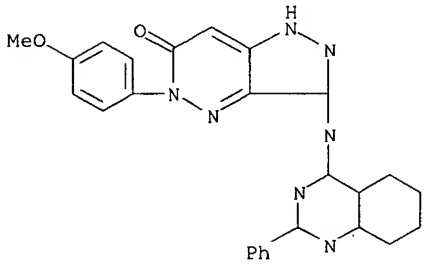
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-18-1 USPAT2

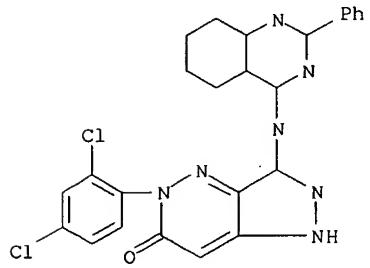
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-19-2 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-21-6 USPAT2

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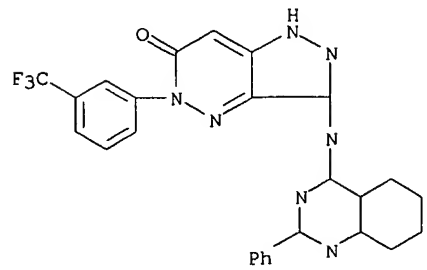

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2
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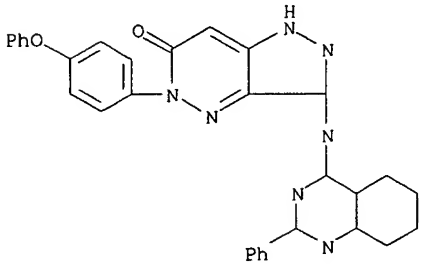
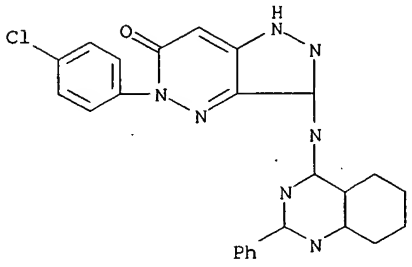


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L21 ANSWER 40 OF 40 USPAT2 on STN
AN 2003:4122 USPAT2
TI Pyrazole compounds useful as protein kinase inhibitors
IN Bebbington, David, Newbury, UNITED KINGDOM
Charrier, Jean-Damien, Wantage, UNITED KINGDOM
Golec, Julian, Swindon, UNITED KINGDOM
Green, Jeremy, Burlington, MA, United States
Kay, David, Purton, UNITED KINGDOM
Knegtel, Ronald, Abingdon, UNITED KINGDOM
Miller, Andrew, Didcot, UNITED KINGDOM
Tomlison, Ronald, Marlborough, MA, United States
Li, Pan, Arlington, MA, United States
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US-----6653300 B2 20031125
AI 2001US-000026975 20011219 (10) <--
PRAI 2001US-000286949P 20010427 (60) <--
2000US-000257887P 20001221 (60) <--
DT Utility
FS GRANTED
EXNAM Primary Examiner: Shah, Mukund J.; Assistant Examiner: Patel, Sudhaker B.
LREP Robidout, Andrea L. C., Vertex Pharmaceuticals Incorporated
CLMN Number of Claims: 40
ECL Exemplary Claim: 1
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 8954
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention describes novel pyrazole compounds of formula I':
##STR1##

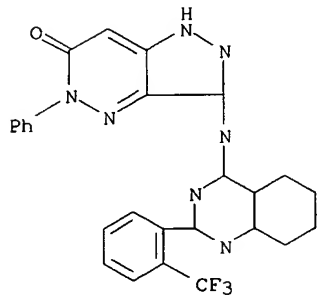
wherein Q' is --O--, --C(R.sup.6').sub.2--, 1,2-cyclopropanediyl, 1,2-cyclobutanediyl, or 1,3-cyclopropanediyl, and R.sup.1 is T-Ring D, wherein Ring D is a 5-7 membered monocyclic ring or 8-10 membered bicyclic ring selected from aryl, heteroaryl, heterocyclyl or carbocyclyl; R.sup.x and R.sup.y are independently selected from T--R.sup.3 or L--Z--R.sup.3, or R.sup.x and R.sup.y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-7 membered ring having 0-3 heteroatoms; and R.sup.2 and R.sup.2' are as described in the specification. The compounds are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes and Alzheimer's disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **404827-31-2P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-[2-(2-trifluoromethylphenyl)quinazolin-4-yl]amine **404829-16-9P**, [5-(3-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-17-0P**, (6-Oxo-5-phenyl-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl)-(2-phenylquinazolin-4-yl)amine **404829-18-1P**, [5-(4-Methoxyphenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-19-2P**, [5-(2,4-Dichlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-21-6P**, [6-Oxo-5-(3-trifluoromethylphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-22-7P**, [6-Oxo-5-(4-Phenoxyphenyl)-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine **404829-23-8P**, [5-(4-Chlorophenyl)-6-oxo-5,6-dihydro-1H-pyrazolo[4,3-c]pyridazin-3-yl](2-phenylquinazolin-4-yl)amine
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN 404827-31-2 USPAT2

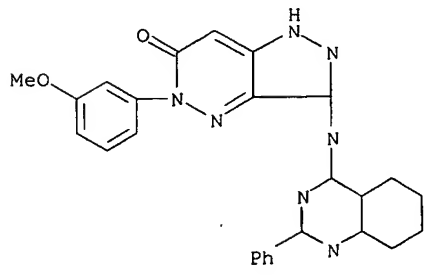
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-phenyl-3-[[2-[2-(trifluoromethyl)phenyl]-4-quinazolinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-16-9 USPAT2

CN 6H-Pyrazolo[4,3-c]pyridazin-6-one, 1,5-dihydro-5-(3-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



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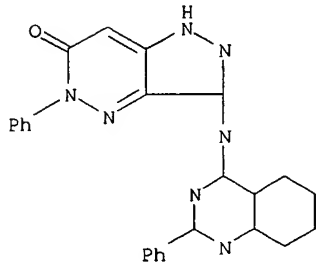
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-phenyl-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



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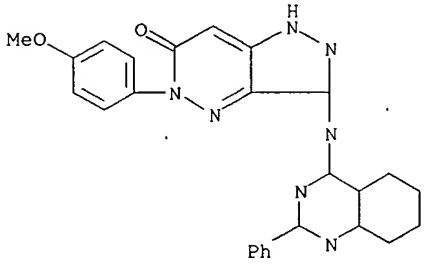
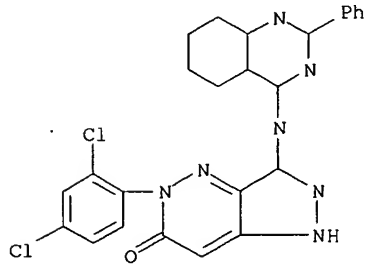
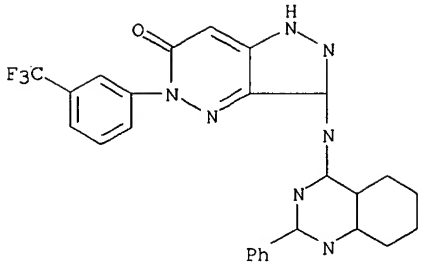
RN 404829-18-1 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-methoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-19-2 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(2,4-dichlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)

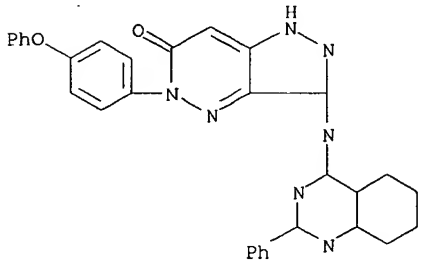


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 404829-21-6 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]-5-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



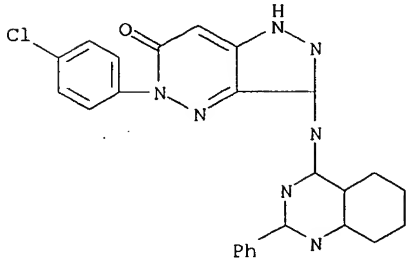
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-22-7 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
1,5-dihydro-5-(4-phenoxyphenyl)-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404829-23-8 USPAT2
CN 6H-Pyrazolo[4,3-c]pyridazin-6-one,
5-(4-chlorophenyl)-1,5-dihydro-3-[(2-phenyl-4-quinazolinyl)amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

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FILE 'HCAPLUS' ENTERED AT 10:33:36 ON 05 DEC 2008
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L5 STR
L6 STR L5

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L9            36 L8 AND L3
L10              STR L5
L11              STR L6
L12            2 (L10 OR L11)  SUB=L8 SAM
L13           41 (L10 OR L11) FULL SUB=L8
L14           27 L13 AND L3
L15           14 L13 NOT L14

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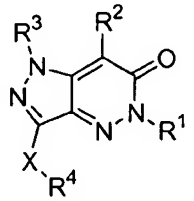
AMENDMENTS TO THE CLAIMS

Please replace all prior versions and listings of claims with the amended claims as follows:

1. (Previously presented) A compound of formula **I**:



I

or a pharmaceutically acceptable salt or mixtures thereof,

wherein R^1 is selected from $-(L)_mR$, $-(L)_mAr^1$, or $-(L)_mCy^1$; L is an optionally substituted C_{1-6} alkylidene chain wherein up to two non-adjacent methylene units of L are optionally replaced by O, NR, NRCO, NRCS, NRCONR, NRCSNR, $NRCO_2$, CO, CO_2, CONR, CSNR, OC(O)NR, SO_2, SO_2NR, $NRSO_2$, $NRSO_2NR$, C(O)C(O), or $C(O)CH_2C(O)$; m is 0 or 1; Ar^1 is an optionally substituted aryl group selected from a 3-8 membered monocyclic or an 8-10 membered bicyclic ring having 0-5 heteroatoms independently selected from nitrogen, oxygen, or sulfur; and Cy^1 is an optionally substituted group selected from a 3-7-membered saturated or partially unsaturated monocyclic ring having 0-3 heteroatoms independently selected from nitrogen, oxygen, or sulfur, or an 8-10-membered saturated or partially unsaturated bicyclic ring system having 0-5 heteroatoms independently selected from nitrogen, oxygen, or sulfur, wherein Ar^1 and Cy^1 are each independently optionally substituted with y occurrences of $Z\text{-}R^Y$; wherein Z is a bond or is a C_1-C_6 alkylidene chain wherein up to two non-adjacent methylene units of Z are optionally replaced by CO, CO_2, COCO, CONR, CSNR, OCONR, NRNR, NRNRCO, NRCO, NRCS, $NRCO_2$, NRCONR, NRCSNR, SO, SO_2, $NRSO_2$, SO_2NR, $NRSO_2NR$, O, S, or NR; each occurrence of R^Y is independently selected from R', halogen, NO_2, CN, OR', SR', $N(R')_2$, NR'C(O)R', NR'C(S)R', $NR'C(O)N(R')_2$, $NR'C(S)N(R')_2$, $NR'CO_2R'$, C(O)R', CO_2R', OC(O)R', $C(O)N(R')_2$, $C(S)N(R')_2$, $OC(O)N(R')_2$, SOR', SO_2R', $SO_2N(R')_2$, $NR'SO_2R'$, $NR'SO_2N(R')_2$, $C(O)C(O)R'$, or $C(O)CH_2C(O)R'$; and y is 0-5;

R^2 is selected from halogen, NO_2, -SR, $-N(R)_2$, $-(T)_nR$, or $-(T)_nAr^2$ wherein T is an optionally substituted C_{1-4} alkylidene chain wherein up to two non-adjacent methylene units of T are optionally replaced by O, NR, NRCO, NRCS, NRCONR, NRCSNR, $NRCO_2$, CO, CO_2, CONR, CSNR, OC(O)NR, SO_2, SO_2NR, $NRSO_2$, $NRSO_2NR$, C(O)C(O), or $C(O)CH_2C(O)$; n is 0 or 1; Ar^2 is an optionally substituted aryl group selected from a 5-6 membered monocyclic or an 8-10 membered bicyclic ring having 0-5 heteroatoms independently selected from nitrogen, oxygen, or sulfur wherein Ar^2 is independently optionally substituted with up to five substituents selected from $Q-R^X$; wherein Q is a bond or is a C_1-C_6 alkylidene chain wherein up to two non-adjacent methylene units of Q are optionally replaced by CO, CO_2, COCO, CONR, CSNR, OCONR, NRNR, NRNRCO, NRCO, NRCS, $NRCO_2$, NRCONR, NRCSNR, SO, SO_2, $NRSO_2$, SO_2NR, $NRSO_2NR$, O, S, or NR; and each occurrence of R^X is independently selected from R', halogen, NO_2, CN, OR', SR', $N(R')_2$, NR'C(O)R', NR'C(S)R', $NR'C(O)N(R')_2$, $NR'C(S)N(R')_2$, $NR'CO_2R'$, C(O)R', CO_2R', $OC(O)R'$, $C(O)N(R')_2$, $C(S)N(R')_2$, $OC(O)N(R')_2$, SOR', SO_2R', $SO_2N(R')_2$, $NR'SO_2R'$, $NR'SO_2N(R')_2$, $C(O)C(O)R'$, or $C(O)CH_2C(O)R'$;

R^3 is hydrogen or an optionally substituted C_{1-4} aliphatic group;

X is selected from a valence bond, O, S, or NR;

R^4 is selected from -R, $-U-Ar^3$, or $-(U)_jCy^3$; U is an optionally substituted C_{1-6} alkylidene chain wherein up to two non-adjacent methylene units of U are optionally replaced by O, NR, NRCO, NRCS, NRCONR, NRCSNR, $NRCO_2$, CO, CO_2, CONR, CSNR, OC(O)NR, SO_2, SO_2NR, $NRSO_2$, $NRSO_2NR$, C(O)C(O), or $C(O)CH_2C(O)$; j is 0 or 1; Ar^3 is an optionally substituted aryl group selected from a 3-8 membered monocyclic or an 8-10 membered bicyclic ring having 0-5 heteroatoms independently selected from nitrogen, oxygen, or sulfur; and Cy^3 is an optionally substituted group selected from a 3-7-membered saturated or partially unsaturated monocyclic ring having 0-3 heteroatoms independently selected from nitrogen, oxygen, or sulfur, or an 8-10-membered saturated or partially unsaturated bicyclic ring system having 0-5 heteroatoms independently selected from nitrogen, oxygen, or sulfur, wherein Ar^3 and Cy^3 are each independently optionally substituted with up to five substituents selected from $Y-R^Z$; wherein Y is a bond or is a C_1-C_6 alkylidene chain wherein up to two non-adjacent

methylene units of Y are optionally replaced by CO, CO_2, COCO, CONR, CSNR, OCONR, NRNR, NRNRCO, NRCO, NRCS, $NRCO_2$, NRCONR, NRCSNR, SO, SO_2, $NRSO_2$, SO_2NR, $NRSO_2NR$, O, S, or NR; and each occurrence of R^Z is independently selected from R', halogen, NO_2, CN, OR', SR', $N(R')_2$, NR'C(O)R', NR'C(S)R', $NR'C(O)N(R')_2$, $NR'C(S)N(R')_2$, $NR'CO_2R'$, C(O)R', CO_2R', OC(O)R', $C(O)N(R')_2$, $C(S)N(R')_2$, $OC(O)N(R')_2$, SOR', SO_2R', $SO_2N(R')_2$, $NR'SO_2R'$, $NR'SO_2N(R')_2$, C(O)C(O)R', or $C(O)CH_2C(O)R'$; or

wherein R^4 and R, taken together with the nitrogen form an optionally substituted 5-8 membered heterocyclyl ring having 1-3 heteroatoms independently selected from nitrogen, oxygen, or sulfur;

each occurrence of R is independently selected from hydrogen or an optionally substituted C_{1-6} aliphatic group, or two R on the same nitrogen are taken together with the nitrogen to form a 5-8 membered heterocyclyl or heteroaryl ring having 1-3 heteroatoms independently selected from nitrogen, oxygen, or sulfur; and

each occurrence of R' is independently selected from hydrogen or an optionally substituted group selected from C_{1-6} aliphatic, C_{6-10} aryl, a heteroaryl ring having 5-10 ring atoms, or a heterocyclyl ring having 3-10 ring atoms, or wherein two R on the same nitrogen are taken together with the nitrogen to form a 5-8 membered heterocyclyl or heteroaryl ring having 1-3 heteroatoms independently selected from nitrogen, oxygen, or sulfur,

provided that:

a) when X is NR; R, R^3, and R^4 are each hydrogen; R^2 is $-(T)_nR$ wherein n is 0 and R is hydrogen; and R^1 is $-(L)_mAr^1$ wherein m is 0; then Ar^1 is not:

i) 4-Cl or 4-OMe phenyl; or

ii) 3-CF_3 phenyl;

d) when X is a valence bond; R^4 is hydrogen; R^3 is CH_3; R^2 is either chloro or hydrogen; and R^1 is $-(L)_mAr^1$ wherein m is 0, then Ar^1 is not 3-trifluoromethyl phenyl or 2-fluoro-5-trifluoromethyl phenyl;

f) when X is a valence bond; R^4 is methyl; R^2 is $-(T)_nR$ wherein n is 0 and R is hydrogen; R^3 is hydrogen; and R^1 is $-(L)_mAr^1$ wherein m is 0; then Ar^1 is not 4-tolyl;